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=> d his

STN-structure  
Search 1-23-4

(FILE 'HOME' ENTERED AT 16:47:25 ON 23 JAN 2004)

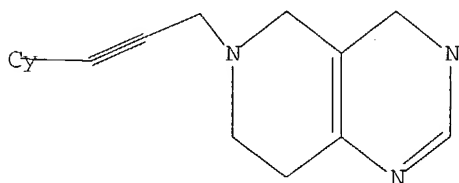
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L1 STRUCTURE UPLOADED  
L2 0 S L1  
L3 STRUCTURE UPLOADED  
L4 0 S L3  
L5 0 S L3 FULL

=> d l3

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

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=> d ibib abs hitstr 1-48

STN - Structure Search  
1-22-04

L7 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:991510 CAPLUS

DOCUMENT NUMBER: 140:42193

TITLE: Preparation of bicyclic pyrimidine derivatives as antiinflammatory agents for treatment of allergic diseases

INVENTOR(S): Arai, Hitoshi; Matsumura, Tsutomu; Ishida, Hiroshi; Yamaura, Yosuke; Aratake, Seiji; Ohshima, Etsuo; Yanagawa, Koji; Miyama, Motoki; Suzuki, Koji; Kawabe, Ari; Nakanishi, Satoshi; Kobayashi, Katsuya; Sato, Takashi; Miki, Ichiro; Ueno, Kimihisa; Fujii, Shinya; Iwase, Miho

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 467 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104230	A1	20031218	WO 2003-JP7200	20030606

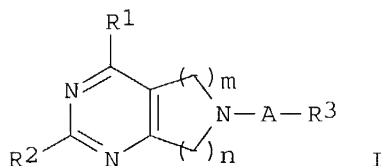
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2002-166504 A 20020607

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AB The title compds. I [wherein m and n = independently 1-3; R1 = (un)substituted amino; R2 = -B-(CX2)p-R7, (un)substituted piperidinyl, piperazinyl, or amino; B = O, CH=CH, C.tplbond.C, or phenylene; p = 1-4; X = H, halo, or (un)substituted alkyl; R7 = (un)substituted amino; A = a single bond, CO, SO2, OCO, OCS, SCO, SCS, (un)substituted NHCO, NHCS, or amino; R3 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl, etc.] or quaternary ammonium salts, or pharmaceutically acceptable salts thereof are prepd. I have an antiinflammatory effect and an effect of controlling the function(s) of TARC and/or MDC and, therefore, are usable in treating and/or preventing various diseases in which T cells participate, for example, allergic diseases, autoimmune diseases, rejection at transplantation, etc. (no data). Formulations

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contg. I as an active ingredient were also described.

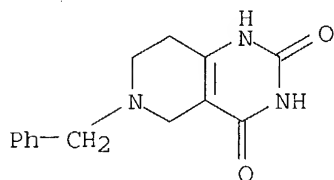
IT 135481-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of bicyclic pyrimidine derivs. as antiinflammatory agents for treatment of allergic diseases)

RN 135481-57-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:796321 CAPLUS

DOCUMENT NUMBER: 139:307784

TITLE: Preparation of fused heterocyclic inhibitors of cAMP phosphodiesterase and their use in treatment of T cell-mediated diseases

INVENTOR(S): Pitts, William J.; Barbosa, Joseph; Guo, Junqing

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 137,508.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

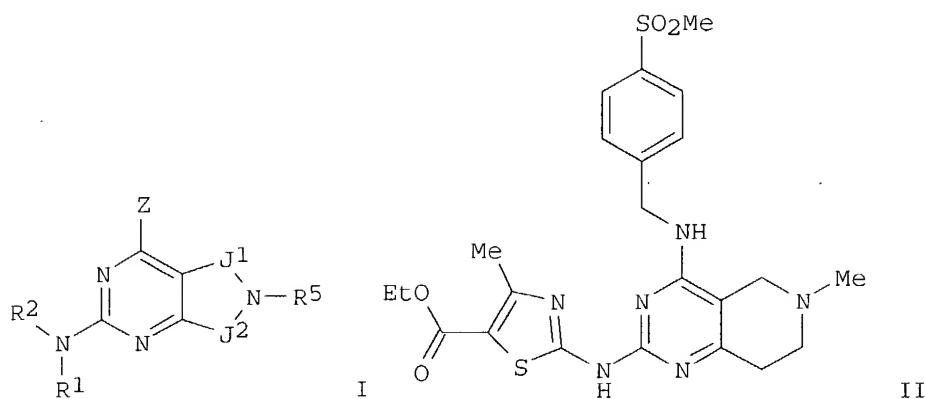
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003191143	A1	20031009	US 2002-288980	20021106
US 2003092908	A1	20030515	US 2002-137508	20020501
PRIORITY APPLN. INFO.:			US 2001-287964P	P 20010501
			US 2001-299287P	P 20010619
			US 2002-368752P	P 20020329
			US 2002-137508	A2 20020501

OTHER SOURCE(S): MARPAT 139:307784

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AB The title compds. [I; R1 = H, alkyl; R2 = (substituted) heteroaryl, heterocycle, aryl, aryl fused to heteroaryl or heterocycle; R5 = H, CN, (substituted)alkyl, alkenyl, alkynyl, cycloalkyl, COR6, COOR6, COCOOR6, SO2R6a, etc.; R6 = H, alkyl, alkenyl, etc.; R6a = alkyl, alkenyl, etc.; Z = NR3R4, NR3SO2R4a, OR4, SR4, haloalkyl, halo; R3, R4 = H, alkyl, alkenyl, etc.; R4a = alkyl, alkenyl, etc. ; J1, J2 = C1-3 alkylene but both J1 and J2 are not > C2] and analogs thereof are prepd. which are useful in treating T-cell mediated diseases. E.g., a multi-step synthesis of II is given. Pharmaceutical compn. comprising the compd. I is claimed.

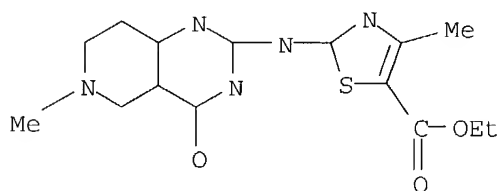
IT 474405-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of fused heterocyclic inhibitors of cAMP phosphodiesterase and their use in treatment of T cell-mediated diseases)

RN 474405-76-0 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[(1,4,5,6,7,8-hexahydro-6-methyl-4-oxopyrido[4,3-d]pyrimidin-2-yl)amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

L7 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:610269 CAPLUS

DOCUMENT NUMBER: 139:164803

TITLE: Preparation of condensed heterocyclic compounds as PARP inhibitors

INVENTOR(S): Ishida, Junya; Hattori, Kouji; Kido, Yoshiyuki; Yamamoto, Hirofumi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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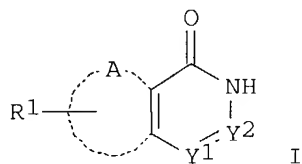
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063874	A1	20030807	WO 2003-JP708	20030127
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: AU 2002-197 A 20020129

OTHER SOURCE(S): MARPAT 139:164803

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AB The title compds. [I; R1 = H, halo, alkyl or alkoxy; A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring, pyridine ring, etc.; Y1:Y2 = N:C(L11R21), C(L12R22):N, CH:C(L13R23), C(L14R24):CH (wherein L11, L12, L13, L14 = alkylene, alkenylene, etc.; R21, R22, R23 and R24 = cyclic amino group, carbocyclic group or amino group which are substituted with (un)substituted Ph); provided that when A and two adjacent carbon atoms of the six membered ring to be bonded with A form benzene ring, then Y1:Y2 = C(L12R22):N, CH:C(L13R23), C(L14R24):CH] having poly(adenosine 5'-diphospho-ribose)polymerase (PARP) inhibitory activity, were prepd. Thus, reacting 4-(4-phenyl-3,6-dihydro-1(2H)-pyridyl)butanimidamide with cyclohexanone-2-carboxylic acid Et ester in the presence of K2CO3 in EtOH afforded 2-[3-(4-phenyl-3,6-dihydro-1(2H)-pyridyl)propyl]-5,6,7,8-tetrahydro-4(3H)-quinazolinone which showed IC50 of < 0.5 .mu.M against human PARP.

IT 574006-79-4P

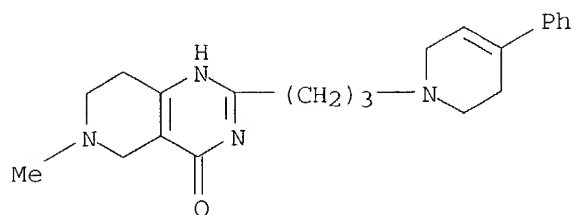
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of condensed heterocyclic compds. as PARP inhibitors)

RN 574006-79-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-[3-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)propyl]-5,6,7,8-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)

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REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:849386 CAPLUS

DOCUMENT NUMBER: 137:348408

TITLE: Fused heterocyclic inhibitors of cAMP phosphodiesterase and their use in treatment of T cell-mediated diseases

INVENTOR(S): Pitts, William; Barbosa, Joseph; Guo, Junqing

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

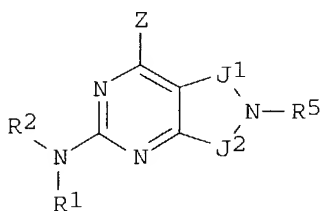
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087513	A2	20021107	WO 2002-US14049	20020501
WO 2002087513	A3	20030313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003104974	A1	20030605	US 2002-135998	20020430
PRIORITY APPLN. INFO.:			US 2001-287964P	P 20010501
			US 2001-299287P	P 20010619
			US 2002-368752P	P 20020329

OTHER SOURCE(S): MARPAT 137:348408

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AB Fused heterocyclic cAMP phosphodiesterase inhibitors (I; R1 = H, alkyl; R2 = (substituted)heteroaryl, heterocycle, aryl, aryl fused to heteroaryl or heterocycle; R5 = H, CN, (substituted)alkyl, alkenyl, alkynyl, cycloalkyl, etc., COR6, COOR6, COCOR6, SO2R6a; R6 = H, alkyl, alkenyl, etc.; R6a = alkyl, alkenyl, etc.; Z = NR3R4, NR3SO2R4a, OR4, SR4, haloalkyl, halo; R3, R4 = H, alkyl, alkenyl, etc.; R4a = alkyl, alkenyl, etc.; J1, J2 = C1-3-alkylene but both J1 and J2 are not > C2) and analogs thereof are provided which are useful in treating T-cell mediated diseases. Thus, many I compds. such as I (R1 = H, R2 = 4-methyl-5-thiazolecarboxylic acid Et ester-2-yl; R5 = Me; J1 = CH2; J2 = CH2CH2; Z = {4-(methylsulfonyl)phenyl}methyl}amino) were prepd.

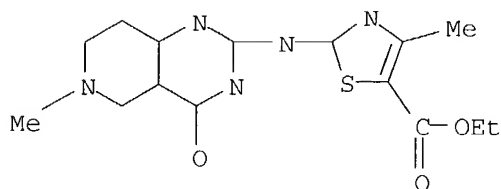
IT 474405-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(fused heterocyclic inhibitors of cAMP phosphodiesterase and their use in treatment of T cell-mediated diseases)

RN 474405-76-0 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[(1,4,5,6,7,8-hexahydro-6-methyl-4-oxopyrido[4,3-d]pyrimidin-2-yl)amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



\*\*\* FRAGMENT DIAGRAM IS INCOMPLETE \*\*\*

L7 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:748341 CAPLUS

DOCUMENT NUMBER: 137:286331

TITLE: Silver halide photographic material and method of processing the same

INVENTOR(S): Miyoshi, Masanori

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002287300	A2	20021003	JP 2001-90006	20010327
PRIORITY APPLN. INFO.:			JP 2001-90006	20010327
OTHER SOURCE(S):		MARPAT 137:286331		

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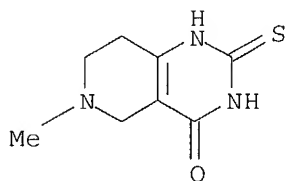
AB The Ag halide photog. material has .gtoreq.1 photosensitive Ag halide emulsion layer on one side of a support and contains compds. represented by  $Rf-(L1)_m-(Y1)_n-X$  ( $Rf$  = aliph. group contg. .gtoreq.1 F;  $L1$  = divalent bonding group;  $Y1$  = alkylene oxide, alkylene;  $X$  = H, OH, anionic group, cationic group;  $m$  = integer 0-5; and  $n$  = integer 0-40),  $Rf-(O-Rf')_{n1}-L2-X_{m1}$  ( $Rf'$  = alkylene contg. .gtoreq.1 F;  $L2$  = bonding group;  $X'$  = OH, anionic group, cationic group; and  $n1, m1$  = integer .gtoreq.1),  $[(Rf''O)_{n2}-(PFC)-CO-Y2]_k-L3-X_{m2}$  ( $Rf''$  = C1-4 perfluoroalkyl; (PFC) = perfluoroalkylene;  $Y2$  = bonding group contg. O or N;  $L3$  = bonding group;  $X''$  = water-sol. polar group;  $n2$  = integer 1-5;  $k$  = integer 1-3; and  $m2$  = integer 1-5), and  $I$  ( $Z$  = N-contg. heterocyclyl;  $M$  = H, alkali metal, alk. earth metal, ammonium cation). The Ag halide photog. material contains a hydrazine deriv. as a contrasting agent. The processing uses a developer contg.  $R1(OM1)C=C(OM2)(X)kR2$  ( $R1,2$  = alkyl, amino, alkoxy, alkylthio;  $k$  = 0, 1;  $X$  = CO, CS;  $M1,2$  = H, alkali metal), and is completed in a dry-to-dry processing time 10-60 s. The use of above compds. showed little Ag stains during the development of the Ag halide photog film used for plate making.

IT 154115-14-7

RL: TEM (Technical or engineered material use); USES (Uses)  
(silver halide photog. emulsion layer from)

RN 154115-14-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2,3,5,6,7,8-hexahydro-6-methyl-2-thioxo-(9CI) (CA INDEX NAME)



L7 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:711623 CAPLUS

DOCUMENT NUMBER: 136:53725

TITLE: One pot synthesis of fused pyrimidines from

2-[N-(methylthiothiocarbonyl)amino]acetate

AUTHOR(S): Chowdhury, A. Z. M. Shaifullah; Shibata, Yasuyuki;

Morita, Masatoshi; Kaya, Kunimitsu; Sano, Tomoharu

CORPORATE SOURCE: Environmental Chemistry Division, National Institute

for Environmental Studies, Tsukuba, 305-0053, Japan

SOURCE: Heterocycles (2001), 55(9), 1747-1757

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A variety of 3-substituted fused pyrimidines are readily obtained from the 2-amino esters with 2-[N-(methylthiothiocarbonyl)amino]acetate (I).

Condensed imidazo[1,2-c]pyrimidine ring system was also constructed in a one-pot process by reacting heteroarom. 2-amino nitriles with I, obtaining a no. of novel tri- and tetracyclic compds.

IT 332097-92-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(one pot synthesis of fused pyrimidines from [N-

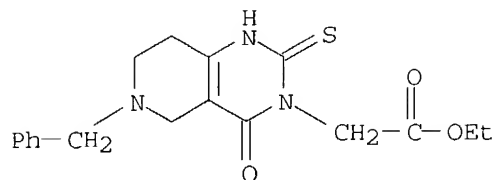
(methylthiothiocarbonyl)amino]acetate)

RN 332097-92-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-3(2H)-acetic acid, 1,4,5,6,7,8-hexahydro-4-oxo-6-(phenylmethyl)-2-thioxo-, ethyl ester (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:453065 CAPLUS

DOCUMENT NUMBER: 135:46199

TITLE: Bicyclic inhibitors of glycogen synthase kinase 3

INVENTOR(S): Nuss, John M.; Zhou, Xiaohui A.

PATENT ASSIGNEE(S): Chiron Corp., USA

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

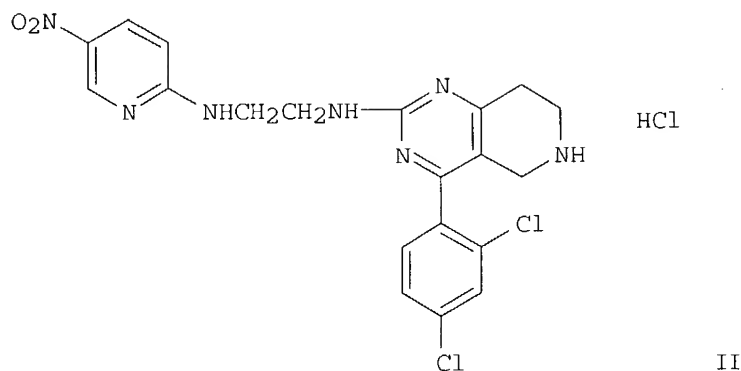
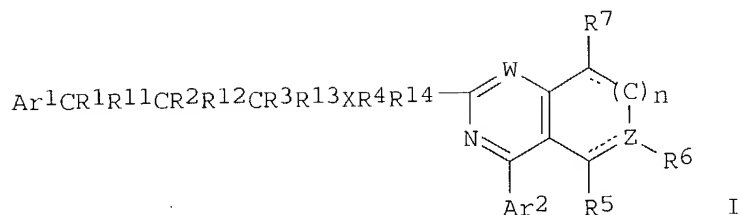
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044246	A1	20010621	WO 2000-US34049	20001214
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1240168	A1	20020918	EP 2000-989272	20001214
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003516991	T2	20030520	JP 2001-544736	20001214
US 2001044436	A1	20011122	US 2000-738066	20001215
US 2003008866	A1	20030109	US 2002-228621	20020826
PRIORITY APPLN. INFO.:			US 1999-172403P	P 19991217
			WO 2000-US34049	W 20001214
			US 2000-738066	A1 20001215

OTHER SOURCE(S): MARPAT 135:46199

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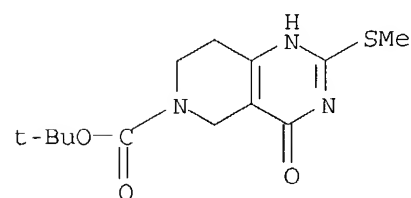


AB Bicyclic compds. I [W,X, Y, Z = (un)substituted C, N, S; n = 0-2; Ar1, Ar2 = (un)substituted aryl, aryloxy, arylamino, heteroaryl; R1-R4 = H, (un)substituted OH, alkyl, cycloalkyl, amino, acyl, aryl, heteroaryl; R11-R14 = H, (un)substituted alkyl; R5-R7 = H, OH, halo, CO2H, NO2, CN, (un)substituted alkyl, cycloalkyl, heterocyclyl, alkoxy, aryl, acyl, acyloxy, amino, amido, amidino, imido, arylsulfonyl, arylsulfonamido] were prepd. for use in inhibiting the activity of glycogen synthase kinase (GSK3) in vitro and a treatment of GSK3 mediated disorders in vivo, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency or cancer. Thus, the pyridopyrimidine II was prepd. from Me 4-oxo-3-piperidinecarboxylate in 7 steps. I have IC50 against GSK3 of .ltoreq. 1 .mu.M.

IT **344958-26-5P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of pyridopyrimidines as inhibitors of glycogen synthase kinase 3)

RN 344958-26-5 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-(methylthio)-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

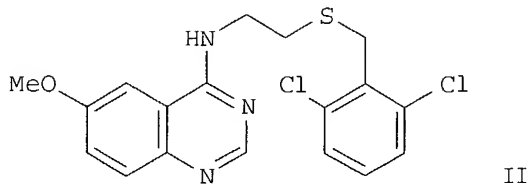
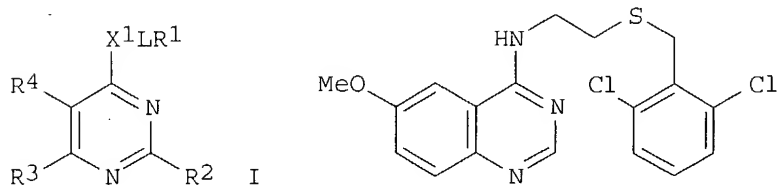
6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/634,181

L7 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:338503 CAPLUS  
DOCUMENT NUMBER: 134:340517  
TITLE: Preparation of heterocycles containing a 4-substituted pyrimidine subunit for pharmaceutical use as mGluR1 antagonists  
INVENTOR(S): Ambler, Samantha Jayne; Baker, Stephen Richard; Clark, Barry Peter; Coleman, Darrell Stephen; Foglesong, Robert James; Goldsworthy, John; Jagdmann, Gunnar Erik, Jr.; Johnson, Kirk Willis; Kingston, Ann Elizabeth; Owton, William Martin; Schoepp, Darryle Darwin; Hong, Jian Eric; Schkeryantz, Jeffrey Michael; Vannieuwenhze, Michael Scott; Zia-Ebrahimi, Mohammad Sadegh  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 237 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032632	A2	20010510	WO 2000-US26261	20001019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1230225	A2	20020814	EP 2000-971987	20001019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			US 1999-162900P	P 19991101
			WO 2000-US26261	W 20001019
OTHER SOURCE(S):			MARPAT 134:340517	
GI				



AB Heterocycles contg. a 4-substituted pyrimidine subunit, such as I [R1 = carbocyclyl, heterocyclyl; R2 = H, CN, SCH2CN, halogen, alkylthio, alkoxy, alkylsulfonyl, alkylamino, alkylsulfinyl, etc.; R3, R4 = alkyl; R3R4 = fused heterocycle, such as S(CH2)3, CH2O(CH2)2, CH:CHS, or fused carbocycle, such as CH:CHCH:CH, (CH2)4; L = alkylene or heteroalkylene linking group; X1 = O, NH], were prepd for pharmaceutical use as mGluR1

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antagonists for treatment of migraine. Thus, quinazolinine II was prepd. in three steps, which included cyclization of 2-amino-5-methoxybenzoic acid with formamidine to form 6-methoxy-4(1H)-quinazolinone, chlorination with phosphorus oxychloride to form 4-chloro-6-methoxyquinazoline followed by amination with 2-(2,6-dichlorobenzylthio)ethylamine. The prepd. pyrimidines were tested for mGluR1 and mGluR5 metabotropic glutamate receptor antagonist activity and were found to be 10 fold selective for the mGluR1 receptor.

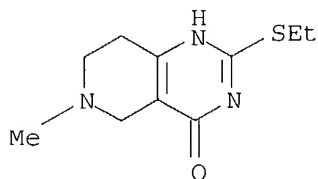
IT 1081-21-6P 338740-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocycles contg. a 4-substituted pyrimidine subunit for pharmaceutical use as mGluR1 antagonists for treatment of migraine)

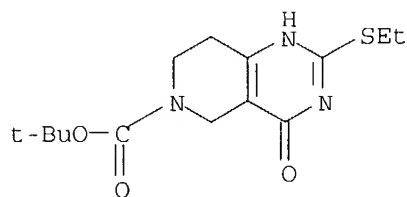
RN 1081-21-6 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-(ethylthio)-5,6,7,8-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)



RN 338740-07-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-(ethylthio)-1,5,7,8-tetrahydro-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:77786 CAPLUS

DOCUMENT NUMBER: 134:266271

TITLE: Synthesis and transformations of pyrido[4,3-d]pyrimidines with N-heterocycles moieties

AUTHOR(S): Chowdhury, A. Z. M. Shaifullah; Shibata, Yasuyuki

CORPORATE SOURCE: Environmental Chemistry Division, National Institute for Environmental Studies, Tsukuba, 305-0053, Japan

SOURCE: Heterocycles (2001), 55(1), 115-125

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

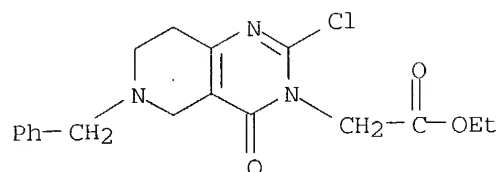
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:266271

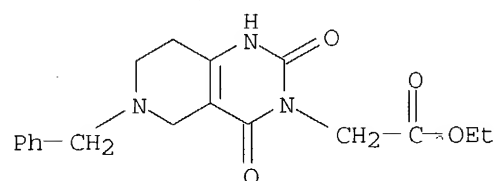
GI

10/634,181



RN 332098-05-2 CAPLUS

CN Pyrido[4,3-d]pyrimidine-3(2H)-acetic acid, 1,4,5,6,7,8-hexahydro-2,4-dioxo-6-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

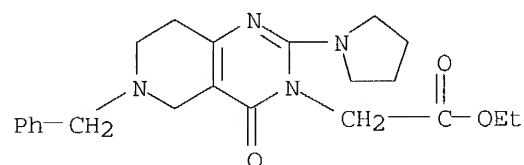


IT 332097-96-8P 332098-06-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis and transformations of pyrido[4,3-d]pyrimidines with  
N-heterocyclic moieties)

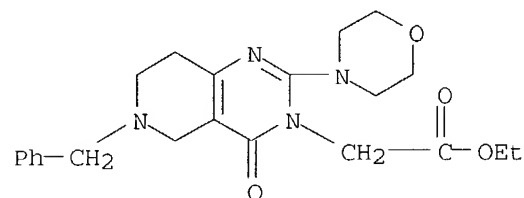
RN 332097-96-8 CAPLUS

CN Pyrido[4,3-d]pyrimidine-3(4H)-acetic acid, 5,6,7,8-tetrahydro-4-oxo-6-(phenylmethyl)-2-(1-pyrrolidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 332098-06-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-3(4H)-acetic acid, 5,6,7,8-tetrahydro-2-(4-morpholinyl)-4-oxo-6-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:475943 CAPLUS

DOCUMENT NUMBER: 133:89540

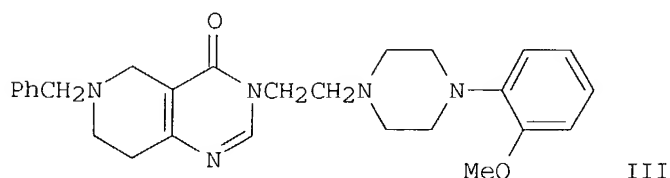
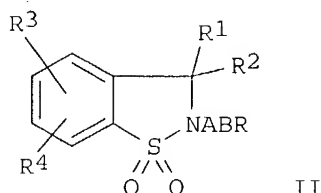
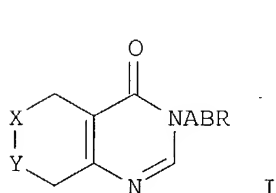
TITLE: Pyridopyrimidinones and benzisothiazole dioxides for  
use in the prophylaxis and therapy of cerebral

10/634,181

ischemia  
 INVENTOR(S): Steiner, Gerd; Schellhaas, Kurt; Lubisch, Wilfried;  
 Holzenkamp, Uta; Starck, Dorothea; Szabo, Laszlo;  
 Emling, Franz; Garcia-Ladona, Francisco Javi; Hofmann,  
 Hans-Peter; Unger, Liliane  
 PATENT ASSIGNEE(S): BASF A.-G., Germany  
 SOURCE: Ger. Offen., 90 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19900544	A1	20000713	DE 1999-19900544	19990111
CA 2359390	AA	20000720	CA 1999-2359390	19991222
WO 2000041697	A1	20000720	WO 1999-EP10275	19991222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140099	A1	20011010	EP 1999-966990	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916888	A	20011120	BR 1999-16888	19991222
JP 2002534467	T2	20021015	JP 2000-593308	19991222
ZA 2001005473	A	20021003	ZA 2001-5473	20010703
NO 2001003408	A	20010821	NO 2001-3408	20010710
BG 105688	A	20020228	BG 2001-105688	20010710
PRIORITY APPLN. INFO.:			DE 1999-19900544 A	19990111
			WO 1999-EP10275 W	19991222

OTHER SOURCE(S): MARPAT 133:89540  
 GI



AB Title compds. I and II [A = substituted alkylene, alkenylene; B = 4-substituted piperidino, 1,2,3,6-tetrahydropyridino, piperazino, or their 7-membered analogs; R = (un)substituted Ph, naphthyl, indanyl, anthryl, heteroarom.; X = CH<sub>2</sub>, Y = (un)substituted NH; X = (un)substituted NH, Y = CH<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = alkyl; R<sub>3</sub>, R<sub>4</sub> = H, (un)substituted alkyl, NH<sub>2</sub>, CO<sub>2</sub>H, OH, alkoxy, F, Cl, Br, I, CF<sub>3</sub>, NO<sub>2</sub>, CN, pyrrolyl, (un)substituted phenylalkyl] were prepd. for use in treating cerebral ischemia and stroke (no data). Thus, Me N-benzyl-4-oxo-3-piperidinecarboxylate was treated with formamidine hydrochloride to give 3,5,7,8-tetrahydro-4-oxo-6-benzylpyrido[4,3-d]pyrimidine which was treated with 1-(2-methoxyphenyl)-4-(2-chloroethyl)piperazine to give the title compd. III.

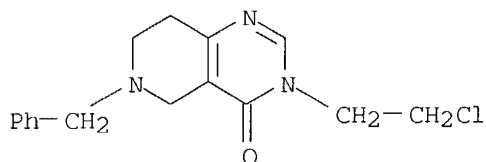
IT 223609-15-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of pyridopyrimidinones and benzisothiazole dioxides for use in the prophylaxis and therapy of cerebral ischemia)

RN 223609-15-2 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-(2-chloroethyl)-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



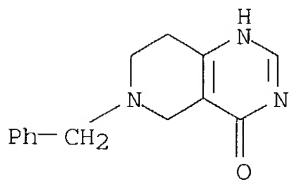
IT 109229-22-3P 223609-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyridopyrimidinones and benzisothiazole dioxides for use in the prophylaxis and therapy of cerebral ischemia)

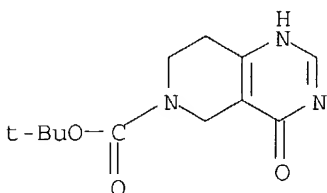
RN 109229-22-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 223609-09-4 CAPLUS

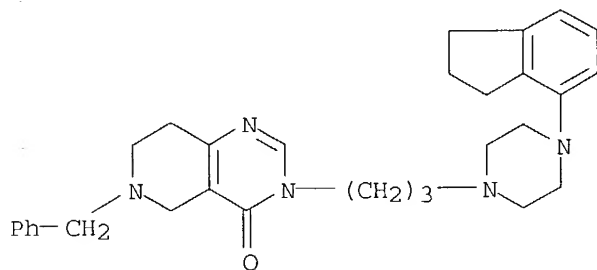
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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RN 281659-56-1 CAPLUS

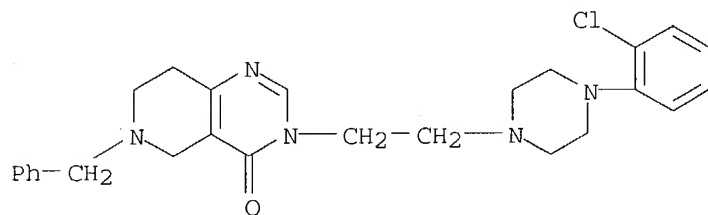
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-[3-[4-(2,3-dihydro-1H-inden-4-yl)-1-piperazinyl]propyl]-5,6,7,8-tetrahydro-6-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 281659-57-2 CAPLUS

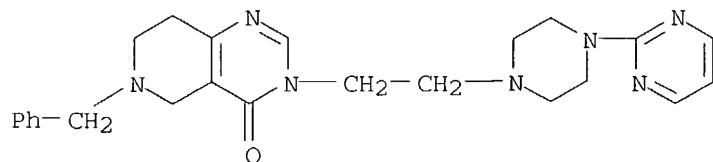
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-[2-[4-(2-chlorophenyl)-1-piperazinyl]ethyl]-5,6,7,8-tetrahydro-6-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 281659-58-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 5,6,7,8-tetrahydro-6-(phenylmethyl)-3-[2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

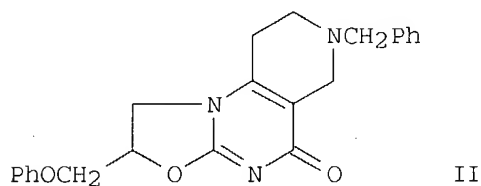
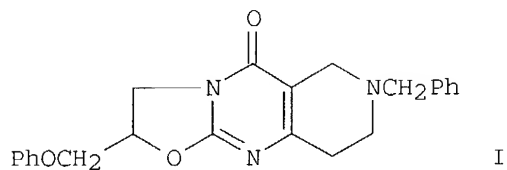


HCl



10/634,181

ACCESSION NUMBER: 1999:684900 CAPLUS  
DOCUMENT NUMBER: 132:49943  
TITLE: Reaction between 5-(phenoxymethyl)-2-amino-2-oxazoline  
and N-benzyl-3-(ethoxycarbonyl)-4-piperidinone  
hydrochloride: a structural investigation  
AUTHOR(S): Forfar, Isabelle; Jarry, Christian; Laguerre, Michel;  
Leger, Jean-Michel; Pianet, Isabelle  
CORPORATE SOURCE: Laboratoire de Chimie Physique et Minerale, Universite  
Victor Segalen Bordeaux 2 - 146, Bordeaux, 33076, Fr.  
SOURCE: Tetrahedron (1999), 55(44), 12819-12828  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 132:49943  
GI



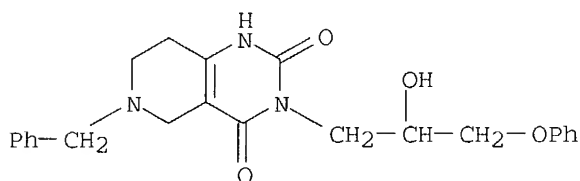
AB The title reaction gave oxazolopyridopyrimidinones I and II. Their structures were assigned by comparison of two dimensional NMR spectra (HMBC, NOESY) with the results obtained from theor. calcns. The structure of one related hydrolysis product was established by x-ray crystallog., further confirming the structure assignment.

IT 252911-44-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(isomeric oxazolopyridopyrimidinones by cyclocondensation of  
(phenoxymethyl)oxazolinamine with oxopiperidinecarboxylate)

RN 252911-44-7 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-3-(2-hydroxy-3-phenoxypropyl)-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

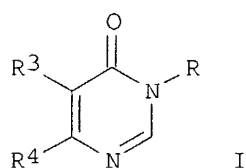


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/634,181

L7 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1999:286205 CAPLUS  
DOCUMENT NUMBER: 130:311811  
TITLE: Preparation of pyridopyrimidinones as serotonin reuptake inhibitors  
INVENTOR(S): Lubisch, Wilfried; Dullweber, Uta; Starck, Dorothea; Steiner, Gerd; Bach, Alfred; Emling, Franz; Garcia-Ladona, Francisco Javier; Teschendorf, Hans-Juergen; Wicke, Karsten  
PATENT ASSIGNEE(S): BASF A.-G., Germany  
SOURCE: Ger. Offen., 38 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19747063	A1	19990429	DE 1997-19747063	19971024
CA 2305258	AA	19990506	CA 1998-2305258	19981005
WO 9921857	A1	19990506	WO 1998-EP6305	19981005
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9897484	A1	19990517	AU 1998-97484	19981005
AU 748666	B2	20020606		
BR 9812970	A	20000808	BR 1998-12970	19981005
EP 1025100	A1	20000809	EP 1998-951491	19981005
EP 1025100	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
NZ 503486	A	20010427	NZ 1998-503486	19981005
JP 2001521035	T2	20011106	JP 2000-517967	19981005
AT 212346	E	20020215	AT 1998-951491	19981005
PT 1025100	T	20020731	PT 1998-98951491	19981005
ES 2172222	T3	20020916	ES 1998-951491	19981005
TW 432063	B	20010501	TW 1998-87117332	19981020
ZA 9809664	A	20000425	ZA 1998-9664	19981023
MX 200002601	A	20001109	MX 2000-2601	20000315
BG 104291	A	20010531	BG 2000-104291	20000403
US 6414157	B1	20020702	US 2000-529231	20000410
NO 2000001934	A	20000413	NO 2000-1934	20000413
PRIORITY APPLN. INFO.:			DE 1997-19747063 A	19971024
			WO 1998-EP6305 W	19981005
OTHER SOURCE(S):		MARPAT 130:311811		
GI				



AB Title compds. [I; R = Z1Z2R5; R3R4 = CH2CH2NR1CH2 or CH2NR1CH2CH2; R1 = H, (phenyl)alkyl, alkanoyl, etc.; R5 = (hetero)aryl; Z1 =

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(heteroatom-interrupted) alkylene or alkenylene; Z2 = 1,n-azacycloalkylene] were prepd. as serotonin reuptake inhibitors (no data). Thus, Me N-benzyl-4-piperidone-3-carboxylate was cyclocondensed with H2NC(:NH)H and the product condensed with ClCH2CH2Z2C6H4(OMe)-2 (Z2 = 1,4-piperazinediyl) (prepn. given) to give I [R = CH2CH2Z2C6H4(OMe)-2, R3R4 = CH2N(CH2Ph)CH2CH2, Z2 = 1,4-piperazinediyl].

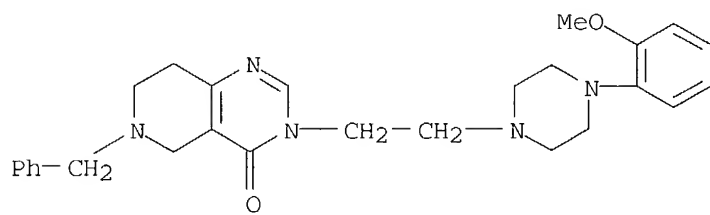
IT 223609-00-5P 223609-02-7P 223609-04-9P  
223609-05-0P 223609-06-1P 223609-07-2P  
223609-08-3P 223609-14-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridopyrimidinones as serotonin reuptake inhibitors)

RN 223609-00-5 CAPLUS

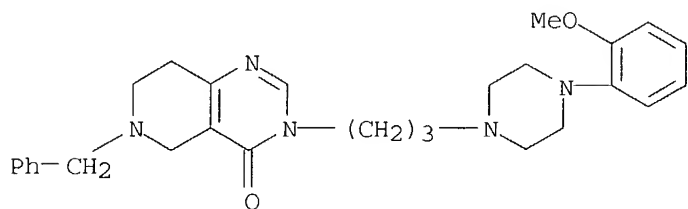
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 5,6,7,8-tetrahydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-6-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223609-02-7 CAPLUS

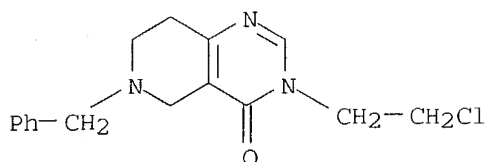
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 5,6,7,8-tetrahydro-3-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 223609-04-9 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3,5,7,8-tetrahydro-3-[2-[4-(1-naphthalenyl)-1-piperazinyl]ethyl]-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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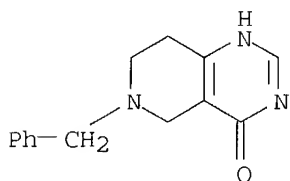
IT 109229-22-3P 223609-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyridopyrimidinones as serotonin reuptake inhibitors)

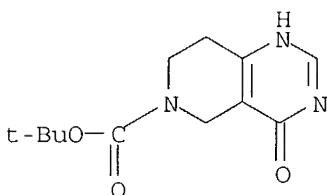
RN 109229-22-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 223609-09-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:27845 CAPLUS

DOCUMENT NUMBER: 130:95849

TITLE: Dipeptide derivatives as growth hormone secretagogues

INVENTOR(S): Carpino, Philip Albert; Griffith, David Andrew;

Lefker, Bruce Allen

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 246 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858947	A1	19981230	WO 1998-IB873	19980605

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,  
 US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
 CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9874454	A1	19990104	AU 1998-74454	19980605
EP 1001970	A1	20000524	EP 1998-921680	19980605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000516639	T2	20001212	JP 1999-504026	19980605
US 6251902	B1	20010626	US 1999-380887	19990908
US 2001041703	A1	20011115	US 2001-822738	20010330
US 6525047	B2	20030225		
US 2002002165	A1	20020103	US 2001-822109	20010330
US 6429313	B2	20020806		
US 2002042415	A1	20020411	US 2001-822095	20010330
US 6432945	B2	20020813		
US 2002065284	A1	20020530	US 2001-823051	20010330
US 6433171	B2	20020813		
US 2003216399	A1	20031120	US 2003-371315	20030221
US 2004006063	A1	20040108	US 2003-371330	20030221
US 2004009984	A1	20040115	US 2003-371953	20030221

## PRIORITY APPLN. INFO.:

US 1997-50764P	P	19970625
WO 1998-IB873	W	19980605
US 1999-380887	A3	19990908
US 2001-822738	A3	20010330

OTHER SOURCE(S): MARPAT 130:95849

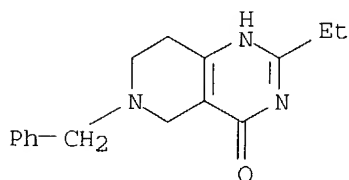
AB Dipeptide derivs. HET-COCR3R4NX4CO-R6-NR7R8 [HET is a heterocyclic moiety; R3 = certain (un)substituted ring systems (A1), alkyl, A1-alkyl, etc.; R4 = H, alkyl, cycloalkyl or CR3R4 is a ring system; X4 is H, alkyl, or X4 and R4 form a ring; R6 is a bond or Z1(CH2)aCX5X5a(CH2)b, where a and b are 0-3, X5 and X5a are H, CF3, A1, (un)substituted alkyl or CX5X5a is a ring or the carbon atom bearing X5 and X5a forms one or two alkylene bridges with the nitrogen atom bearing R7 and R8, Z1 = bond, O, NH or imino group; R7, R8 = H, (un)substituted alkyl or R7R8N forms a ring] were prepd. as growth hormone secretagogues. Thus, 2-amino-N-[2-(8a(S)-benzyl-3-oxotetrahydrooxazolo[3,4-a]pyrazin-7-yl)-1(R)-(3,5-dichlorobenzoyloxymethyl)-2-oxoethyl]-2-methylpropionamide hydrochloride was prepd. from 1,2,4-piperazinetricarboxylic acid 1-benzyl 4-tert-Bu 2-Me ester, N-tert-butoxycarbonyl-.alpha.-methylalanine, N-tert-butoxy-D-serine, and 1,3-dichloro-5-chloromethylbenzene.

IT 1033-32-5P 218953-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of dipeptide derivs. as growth hormone secretagogues)

RN 1033-32-5 CAPLUS

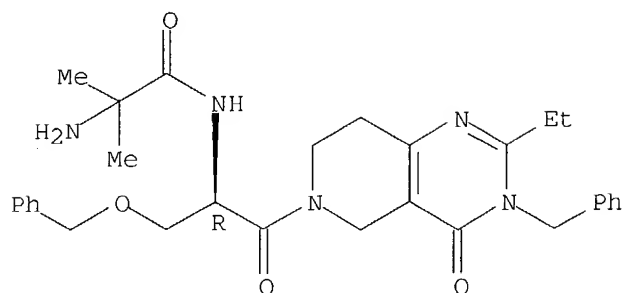
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-ethyl-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 218953-13-0 CAPLUS

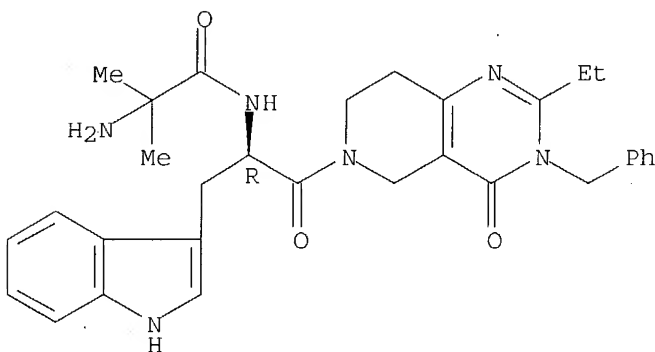
CN Carbamic acid, [2-[[[(1R)-2-[2-ethyl-1,5,7,8-tetrahydro-4-oxopyrido[4,3-d]pyrimidin-6(4H)-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

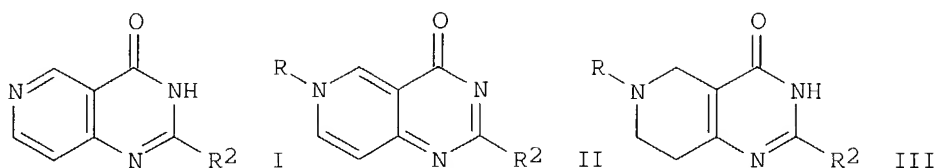


CN Propanamide, 2-amino-N-[(1R)-2-[2-ethyl-3,4,7,8-tetrahydro-4-oxo-3-(phenylmethyl)pyrido[4,3-d]pyrimidin-6(5H)-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The title compds. (I, II, III; R = H, Me, Bn; R2 = Me, Ph, piperidyl, pyridyl) were investigated to characterize their structure and fragmentation mechanisms by EI electron impact mass spectrometry (EI-MS) and collisionally activated decompn. The results obtained on magnetic sector instruments show that the compds. fragment similarly whether the substituent at C-2 is Ph or 3/4-pyridyl. If, however, it is Me, 2-pyridyl or 1-piperidyl, the balance of fragmentations is different. All the studied compds. are stable and give an intense mol. ion peak. A great difference exists between the fragmentation patterns of the piperidino compds. and those of the more aromatized pyrido compds. The loss of hydrogen aromatizes the piperidino derivs. to some extent, esp. the 2-(2-pyridyl)-substituted compds., forcing them towards a more planar structure. In 2-(2-pyridyl) derivs. an intramol. hydrogen bond between the 3N-H and the 2-pyridyl nitrogen atoms strengthens the effect. Deuterated analogs were used to clarify hydrogen rearrangements and to confirm ion structures. Semiempirical AM1 calcns. were carried out on 70 tautomeric model structures. The results are not in contrast to the MS results and they support, e.g., the proposed intramol. hydrogen bonding between the 3N-H and the 2-(2-pyridyl) nitrogen.

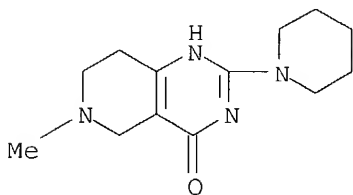
IT 1026-13-7 1047-48-9 1047-49-0  
1448-40-4 139452-52-1 139452-53-2  
218955-10-3 218955-11-4 218955-12-5  
218955-18-1 218955-19-2

RL: PRP (Properties)

(AM1-calcd. DELTA.Hf0, IP, .mu.; electron impact mass spectrometric studies of 2-Me, 2-Ph, 2-(1-piperidyl), and 2-(2/3/4-pyridyl) piperidino- and pyrido[4,3-d]pyrimidin-4-ones)

RN 1026-13-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-methyl-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 1047-48-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-phenyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/634,181

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:294880 CAPLUS

DOCUMENT NUMBER: 124:343322

TITLE: Preparation of quinazolinone derivatives as antipsychotics with weak extrapyramidal effects

INVENTOR(S): Fukuda, Yoshimasa; Nakatani, Juko; Hasegawa, Toshibumi; Myashiro, Mio; Yamashita, Noryuki

PATENT ASSIGNEE(S): Meiji Seika Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

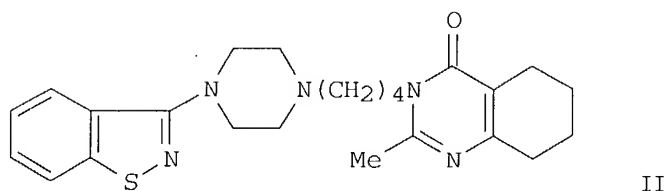
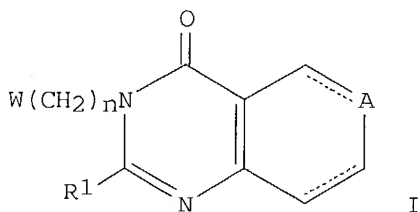
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08027149	A2	19960130	JP 1994-157624	19940708
PRIORITY APPLN. INFO.:			JP 1994-157624	19940708
OTHER SOURCE(S):		MARPAT 124:343322		

GI



AB The title compds. I [ $n = 1 - 5$ ;  $R_1 = H$ , methyl; dotted line indicates single or double bond;  $A = CH_2$ ,  $NR_3$  ( $R_3 = H$ , etc.),  $CH$ ,  $N$ ;  $W =$  heterocyclic moiety (structures given)] are prepd. In a test for antipsychotic effect using mice, the title compd. II (prepn. given) showed  $ED_{50}$  of 0.38 mg/Kg i.p., vs.  $ED_{50}$  of 0.16 mg/Kg i.p. for haloperidol, and  $ED_{50}$  of 1.05 mg/Kg i.p. for chlorpromazine. In a test for cataleptogenic effects using mice, II showed  $ED_{50}$  of 38.4 mg/Kg i.p., vs.  $ED_{50}$  of 1.3 mg/Kg i.p. for haloperidol, and  $ED_{50}$  of 6.2 mg/Kg i.p. for chlorpromazine.

IT 176493-86-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinazolinone derivs. as antipsychotics with weak extrapyramidal effects)

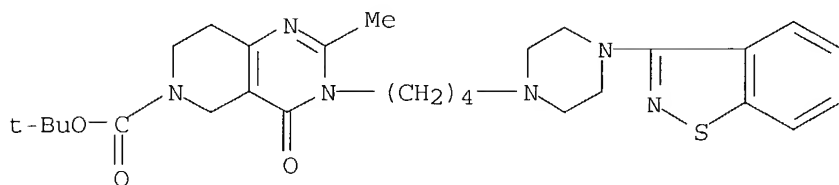
RN 176493-86-0 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3-[4-[4-(1,2-benzisothiazol-



10/634,181

3-yl)-1-piperazinyl]butyl]-3,5,7,8-tetrahydro-2-methyl-4-oxo-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

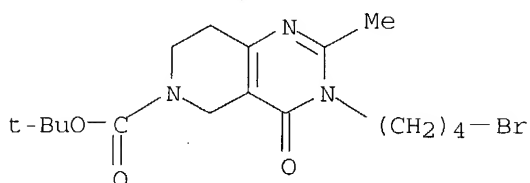


IT 176493-89-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of quinazolinone derivs. as antipsychotics with weak  
extrapyramidal effects)

RN 176493-89-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3-(4-bromobutyl)-3,5,7,8-  
tetrahydro-2-methyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:241543 CAPLUS

DOCUMENT NUMBER: 124:289272

TITLE: Preparation and formulation of  
terahydropyridopyrimidinone derivatives as ulcer  
inhibitors

INVENTOR(S): Kawase, Akito; Shimamura, Hiroshi; Terajima, Koji;  
Ishizuka, Yasuhiro; Kamisaki, Toshiaki

PATENT ASSIGNEE(S): Morishita Pharma, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

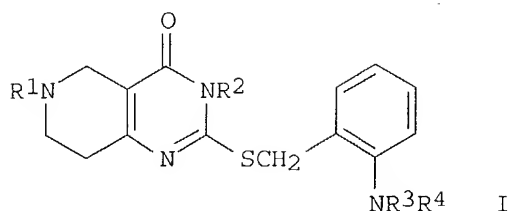
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07330769	A2	19951219	JP 1994-142474	19940531
PRIORITY APPLN. INFO.:			JP 1994-142474	19940531
OTHER SOURCE(S):		MARPAT 124:289272		

GI

10/634,181



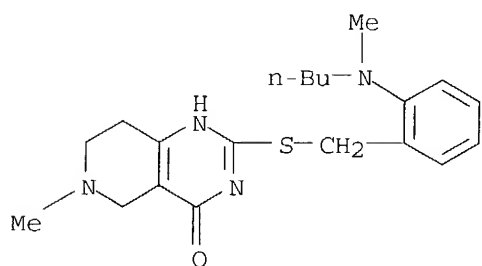
AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, alkyl; R3, R4 = alkyl] are claimed. I [R1 = R3 = R4 = methyl; R2 = H] (NMR data given) at 15 mg/Kg orally gave 98.8% inhibition of indomethacin-induced stomach ulcer in rats, vs. 58.9% inhibition by cimetidine at 100 mg/kg.

IT 175595-62-7P 175595-63-8P 175595-64-9P  
 175595-65-0P 175595-66-1P 175595-67-2P  
 175595-68-3P 175595-69-4P 175595-74-1P  
 175595-75-2P 175595-76-3P 175595-77-4P  
 175595-78-5P 175595-79-6P 175595-80-9P  
 175595-81-0P 175595-82-1P 175595-83-2P  
 175595-84-3P 175595-85-4P 175595-86-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of terahydropyridopyrimidinone derivs. as ulcer inhibitors)

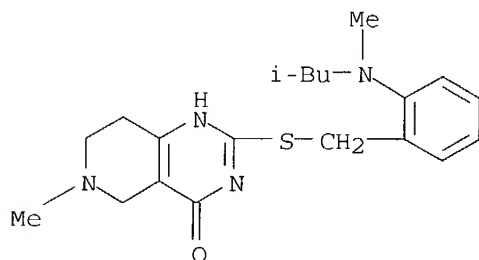
RN 175595-62-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-[[[2-(butylmethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)



RN 175595-63-8 CAPLUS

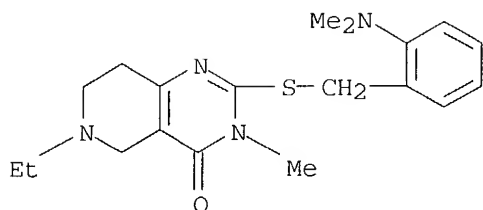
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-methyl-2-[[[2-[methyl(2-methylpropyl)amino]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



RN 175595-64-9 CAPLUS

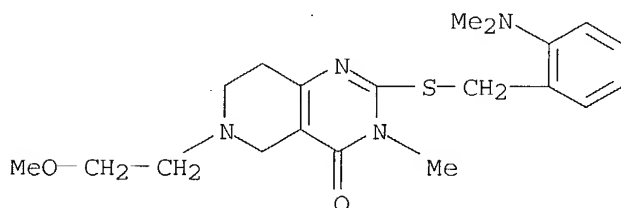
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-[[[2-(dimethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)

10/634,181



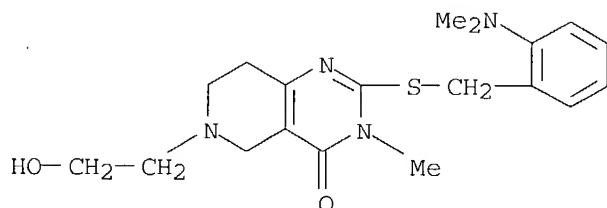
RN 175595-85-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 2-[[[2-(dimethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-6-(2-methoxyethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 175595-86-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 2-[[[2-(dimethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-6-(2-hydroxyethyl)-3-methyl- (9CI) (CA INDEX NAME)



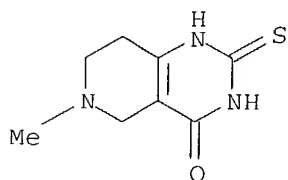
IT 154115-14-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of tetrahydropyridopyrimidinone derivs. as ulcer inhibitors)

RN 154115-14-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2,3,5,6,7,8-hexahydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)



10/634,181

TITLE: Synthesis and antifolate activity of  
2,4-diamino-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine  
analogs of trimetrexate and piritrexim

AUTHOR(S): Rosowsky, Andre; Mota, Clara E.; Queener, Sherry F.

CORPORATE SOURCE: Dana-Farber Cancer Inst. Dep. Biol. Chem. Mol.  
Pharmacol., Harvard Med. Sch., Boston, MA, 02115, USA

SOURCE: Journal of Heterocyclic Chemistry (1995), 32(1),  
335-40  
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

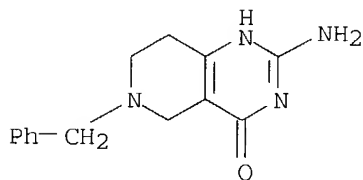
LANGUAGE: English

AB Some (dimethoxyphenyl)- and (trimethoxyphenyl)-substituted  
2,4-diamino-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidines were prepd. An  
improved method of prepn. of 2,4-diamino-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine from 2-amino-6-benzyl-5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidin-4(3H)-one was developed. In assays of the ability of the  
products to inhibit dihydrofolate reductase from *Pneumocystis carinii*, and  
*Toxoplasma gondii* the most active compd. was 2,4-diamino-6-(2'-bromo-  
3',4',5'-trimethoxybenzyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine.  
2',5'-Dimethoxybenzyl analogs were less active than the corresponding  
3',4'-5'-trimethoxybenzyl analogs, and compds. with a CH<sub>2</sub>CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>  
bridge were less active than those with a CH<sub>2</sub> bridge.  
2,4-Diamino-6-(2'-bromo-3',4',5'-trimethoxybenzyl)-5,6,7,8-  
tetrahydropyrido[4,3-d]pyrimidine showed greater selectivity than  
trimetrexate or piritrexim for the *P. carinii* and *T. gondii* enzyme, but  
was less selective than trimethoprim or pyrimethamine. However its molar  
potency against both enzymes was greater than that of trimethoprim, the  
antifolate most commonly used, in combination with sulfamethoxazole, for  
initial treatment of opportunistic *P. carinii* and *T. gondii* infections in  
patients with AIDS and other disorders of the immune system.

IT 1029-52-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. and antifolate activity of pyrido[4,3-d]pyrimidine analogs of  
trimetrexate and piritrexim)

RN 1029-52-3 CAPLUS

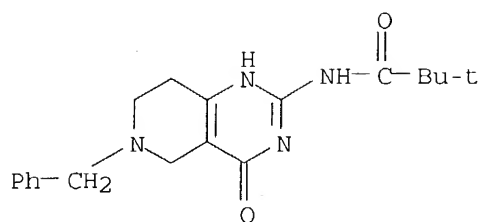
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-amino-5,6,7,8-tetrahydro-6-  
(phenylmethyl)- (9CI) (CA INDEX NAME)



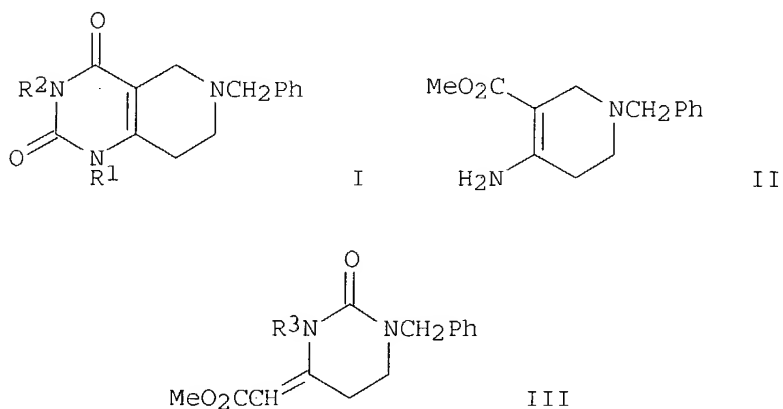
IT 162335-18-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and antifolate activity of pyrido[4,3-d]pyrimidine analogs of  
trimetrexate and piritrexim)

RN 162335-18-4 CAPLUS

CN Propanamide, N-[1,4,5,6,7,8-hexahydro-4-oxo-6-(phenylmethyl)pyrido[4,3-  
d]pyrimidin-2-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:300856 CAPLUS  
 DOCUMENT NUMBER: 122:133110  
 TITLE: Conversion of 1-benzyl-4-aminotetrahydropyridine-3-carboxylic acid methyl ester to antithrombotic pyrido[4,3-d]pyrimidine-2,4-diones and to (2-oxotetrahydropyrimidin-4-ylidene)acetic acid methyl esters  
 AUTHOR(S): Furrer, H.; Fehlhaber, H. W.; Wagner, R.  
 CORPORATE SOURCE: Med. Chem., Hoechst AG Werk Kalle-Albert, Wiesbaden, D-65174, Germany  
 SOURCE: Journal of Heterocyclic Chemistry (1994), 31(6), 1569-75  
 CODEN: JHTCAD; ISSN: 0022-152X  
 PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 122:133110  
 GI



AB Pyridopyrimidinedione I (R1 = H, R2 = Me) (2), a representative of new antithrombotic compds. with favorable cerebral and peripheral effects, has been synthesized from enamine II in good yield by two methods. The thermal fusion of II with ureas gave 2 and I (R1 = H, Me, R2 = H) and unexpectedly the esters (Z)-III (R3 = H) (6) and (E)-III (R3 = Me) (7). The structure of 6 was deduced from its spectroscopic properties and was proven by ozonolysis to cleavage products 1-benzyl-dihydropyrimidine-2,4-dione and OCHCO2Me and by oxidative hydrolysis to 1-benzyl-4-methyl-1,2-dihydropyrimidin-2-one. The (Z)-configured 6 was converted to (E)-configured 7 by methylation. The products I (R1 = Me, R2 = H, Me, R1 = R2 = H) were synthesized by independent methods. Compd. 2 underwent

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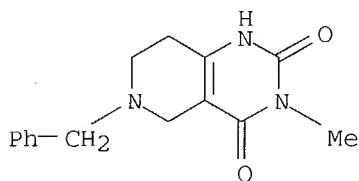
hydrogenolysis and subsequent N-methallylation.

IT 159660-87-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of antithrombotic pyridopyrimidinediones and  
(oxotetrahydropyrimidinylidene)acetic acid esters)

RN 159660-87-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-3-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

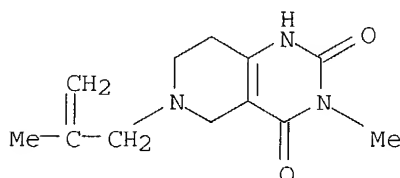


IT 159660-63-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of antithrombotic pyridopyrimidinediones and  
(oxotetrahydropyrimidinylidene)acetic acid esters)

RN 159660-63-6 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-3-methyl-6-(2-methyl-2-propenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



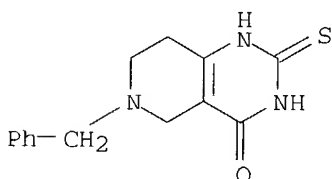
● HCl

IT 67140-12-9

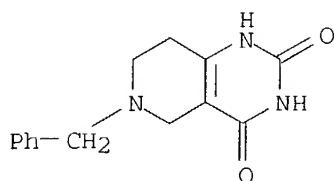
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of antithrombotic pyridopyrimidinediones and  
(oxotetrahydropyrimidinylidene)acetic acid esters)

RN 67140-12-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2,3,5,6,7,8-hexahydro-6-(phenylmethyl)-2-thioxo- (9CI) (CA INDEX NAME)



10/634,181



● HCl

L7 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:248596 CAPLUS

DOCUMENT NUMBER: 122:23846

TITLE: Pyridopyrimidinediones, their preparation and use for treatment of circulatory and neurodegenerative disorders

INVENTOR(S): Furrer, Harald; Seiffge, Dirk; Okyayuz-Baklouti, Ismahan; Grome, John Joseph

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Eur. Pat. Appl., 41 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

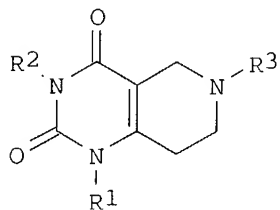
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 621037	A1	19941026	EP 1994-105958	19940418
EP 621037	B1	19990707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 181832	E	19990715	AT 1994-105958	19940418
ES 2134284	T3	19991001	ES 1994-105958	19940418
US 5556854	A	19960917	US 1994-230811	19940421
JP 06321944	A2	19941122	JP 1994-106305	19940422
JP 3483160	B2	20040106		

PRIORITY APPLN. INFO.: DE 1993-4313317 A 19930423

OTHER SOURCE(S): MARPAT 122:23846

GI



I

AB Pyridopyrimidinediones [I; R1 = R2, (substituted) alkenyl; R2 = H, alkyl, (substituted) benzyl; R3 = R1, cyclohexylmethyl, heterocyclylmethyl, carboxyalkyl, etc.] are prepd. for use in treatment of circulatory and neurodegenerative disorders. Thus, I-HCl (R1 = R3 = H, R2 = Me) showed 33% inhibition of laser-induced thrombosis in rats at 10 mg orally.

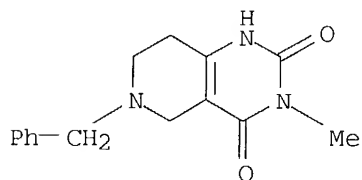
10/634,181

IT 159660-40-9P 159660-42-1P 159660-43-2P  
159660-44-3P 159660-45-4P 159660-46-5P  
159660-47-6P 159660-48-7P 159660-50-1P  
159660-51-2P 159660-53-4P 159660-55-6P  
159660-56-7P 159660-57-8P 159660-58-9P  
159660-59-0P 159660-60-3P 159660-61-4P  
159660-62-5P 159660-63-6P 159660-64-7P  
159660-65-8P 159660-66-9P 159660-67-0P  
159660-68-1P 159660-69-2P 159660-70-5P  
159660-71-6P 159660-72-7P 159660-73-8P  
159660-74-9P 159660-75-0P 159660-76-1P  
159660-78-3P 159660-80-7P 159660-81-8P  
159660-82-9P 159660-83-0P 159660-84-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(pyridopyrimidinedione prepn. and use for treatment of circulatory and neurodegenerative disorders)

RN 159660-40-9 CAPLUS

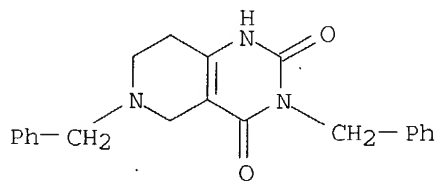
CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-3-methyl-6-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 159660-42-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-3,6-bis(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



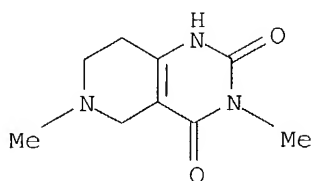
● HCl

RN 159660-43-2 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(2H)-acetic acid, 1,3,4,5,7,8-hexahydro-3-methyl-2,4-dioxo-.alpha.-phenyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



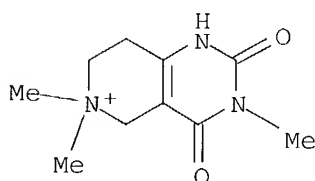
10/634,181



● HCl

RN 159660-98-7 CAPLUS

CN Pyrido[4,3-d]pyrimidinium, 1,2,3,4,5,6,7,8-octahydro-3,6,6-trimethyl-2,4-dioxo-, iodide (9CI) (CA INDEX NAME)



● I<sup>-</sup>

L7 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:630784 CAPLUS

DOCUMENT NUMBER: 121:230784

TITLE: Preparation of 2-benzoylpyrimidine derivatives as herbicides and agrochemical fungicides

INVENTOR(S): Yamada, Hirokazu; Tanaka, Katsunori; Adachi, Hiroyuki; Yamada, Shigeo; Shimoda, Susumu

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: PCT Int. Appl., 200 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9408975	A1	19940428	WO 1993-JP1478	19931014
W:	AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9351611	A1	19940509	AU 1993-51611	19931014
EP 665224	A1	19950802	EP 1993-922632	19931014
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
BR 9307264	A	19990511	BR 1993-7264	19931014
JP 07048359	A2	19950221	JP 1993-282006	19931015
CN 1098717	A	19950215	CN 1994-100163	19940110

10/634,181

PRIORITY APPLN. INFO.:

JP 1992-304622

19921016

JP 1993-28313

19930528

JP 1993-154303

19930601

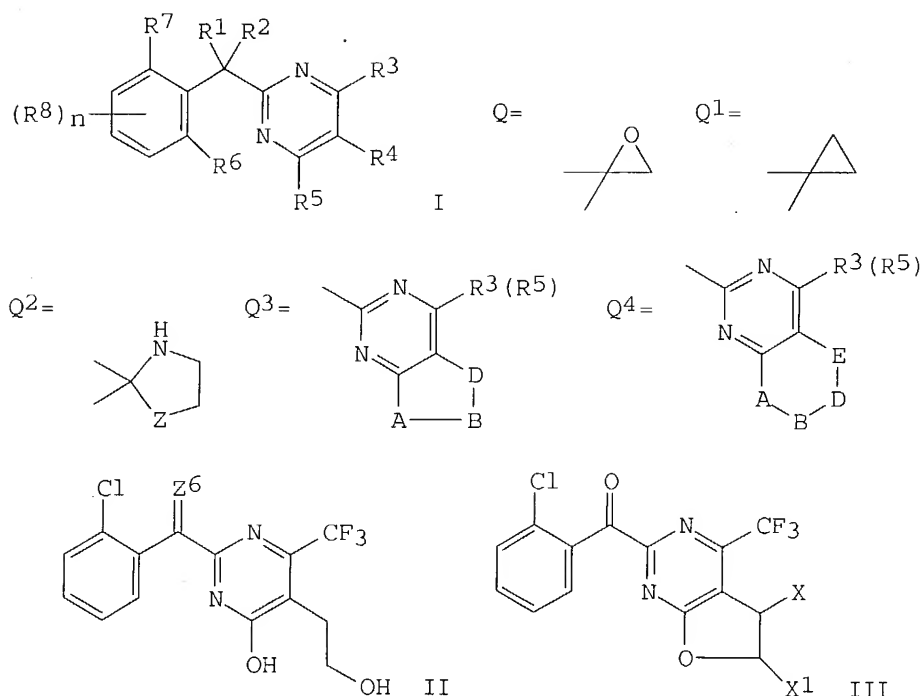
WO 1993-JP1478

19931014

OTHER SOURCE(S):

MARPAT 121:230784

GI



AB The title compds. [I; R<sup>1</sup>, R<sup>2</sup> = H, alkyl, alkenyl, alkynyl, Ph, cyano, CO<sub>2</sub>H, alkoxy carbonyl, halo, (un)substituted OH or SH, NH<sub>2</sub>, etc.; or alternatively R<sup>1</sup>R<sup>2</sup> = oxo, thioxo, cyclic ketal or thioketal, (un)substituted :CH<sub>2</sub>, :NH, :NNH<sub>2</sub>, or :NOH, or a spiro ring selected from among Q - Q<sup>2</sup> (wherein Z = O, S, NH); R<sup>3</sup>, R<sup>5</sup> = H, halo, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted NH<sub>2</sub>, NHHN<sub>2</sub>, OH, CO<sub>2</sub>H, or CONH<sub>2</sub>, cyano, etc.; R<sup>4</sup> = H, halo, NH<sub>2</sub>, cyano, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted CO<sub>2</sub>H, CONH<sub>2</sub>, or OH, etc.; provided that R<sup>3</sup> and R<sup>5</sup> are different from each other when R<sup>4</sup> = H and that R<sup>4</sup> may be combined with R<sup>3</sup> and R<sup>5</sup> and the pyrimidine ring to represent a condensed ring Q<sup>3</sup> or Q<sup>4</sup> [wherein at least one of A, B, D, and E = (un)substituted CH<sub>2</sub> or NH, O, or S(O)<sub>q</sub> (wherein q = 0, 1, 2), and the rest = (un)substituted CH<sub>2</sub>]; R<sup>6</sup>, R<sup>7</sup> = H, halo, NO<sub>2</sub>, cyano, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted CO<sub>2</sub>H, CONH<sub>2</sub>, or OH, etc.; provided that R<sup>6</sup> = R<sup>7</sup> .noteq. H; R<sup>8</sup> = any group listed in R<sup>6</sup> and R<sup>7</sup> except H; n = 0, 1, 2, 3; provided that when R<sup>1</sup> = R<sup>2</sup> = H, R<sup>4</sup> may be combined with R<sup>3</sup> and R<sup>5</sup> and the pyrimidine ring to represent a condensed ring Q<sup>3</sup> or Q<sup>4</sup>] are prepd. Thus, 5 g 2-(2-chlorophenyl)acetamide hydrochloride and 5.3 g .alpha.-trifluoroacetyl-.gamma.-butyrolactone were added to a soln. of Na in EtOH and refluxed for 18 h to give 5.2 g benzylpyrimidine deriv. (II; Z<sub>6</sub> = H<sub>2</sub>) which was refluxed with SeO<sub>2</sub> in aq. dioxane to give benzoylpyrimidine deriv. II (Z<sub>6</sub> = O). The latter compd. was refluxed with POCl<sub>3</sub> in toluene for 2 h to give 5,6-dihydrofuro[2,3-d]pyrimidine (III; X = X<sup>1</sup> = H) which was refluxed with NiO<sub>2</sub> in toluene for 5 h to give furo[2,3-d]pyrimidine

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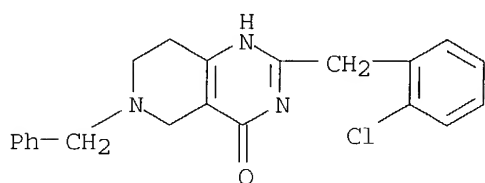
III (XXI = bond). This compd. at 200/are preemergence controlled 100% Digitaria ciliaris, Setaria Faberii, and Amaranthus Blitum and at 200 ppm completely controlled Plasmopara viticola in grape vine leaves. A total of .apprx.400 I were prepd.

IT 158351-46-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide and agrochem. fungicide)

RN 158351-46-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-[(2-chlorophenyl)methyl]-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:426916 CAPLUS

DOCUMENT NUMBER: 121:26916

TITLE: Angiotensin II receptor-blocking 2,3,6-substituted 5,6,7,8-tetrahydropyrido(4,3)pyrimidin-4(3H)ones

INVENTOR(S): Newman, Howard

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 8 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

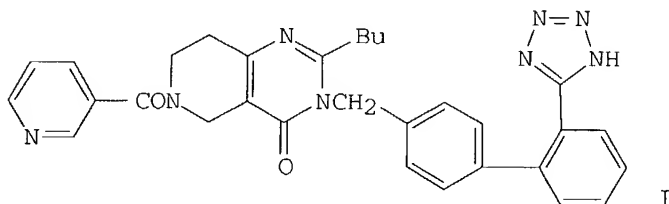
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5296480	A	19940322	US 1993-52938	19930423
PRIORITY APPLN. INFO.:			US 1993-52938	19930423
OTHER SOURCE(S):	MARPAT	121:26916		

GI



AB The title compds. are angiotensin-II antagonists and are therefore useful in alleviating angiotensin-induced hypertension and for treating congestive heart failure. For example, I was prepd. and showed in vitro IC50 value of 5.1.times.10<sup>-8</sup> M for binding angiotensin II receptor.

IT 155827-74-0P 155827-76-2P 155827-78-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

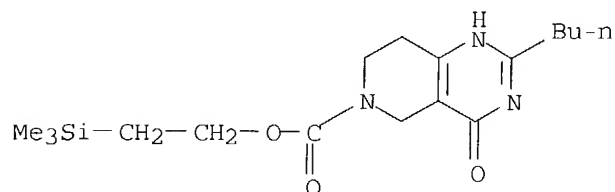
10/634,181

(Reactant or reagent)

(prepn. and reaction of, in prepn. of angiotensin II receptor blocking agent)

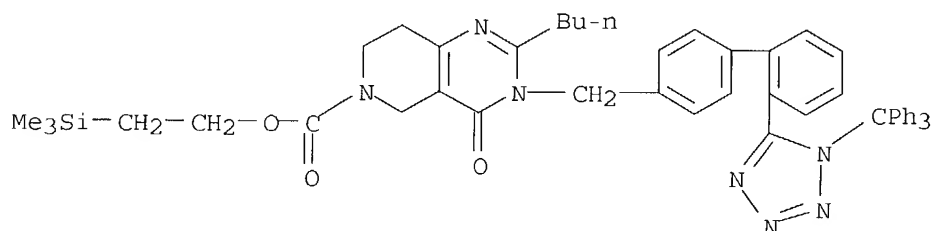
RN 155827-74-0 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-butyl-1,5,7,8-tetrahydro-4-oxo-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

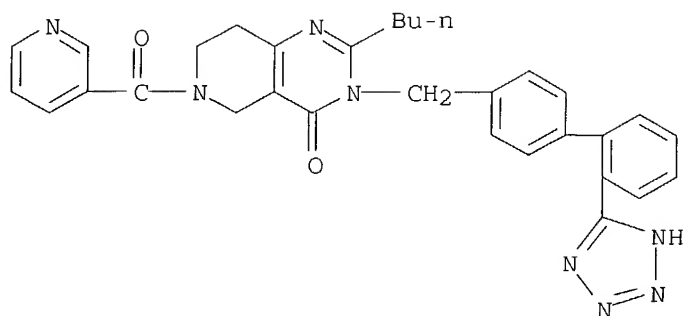


RN 155827-76-2 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-butyl-3,5,7,8-tetrahydro-4-oxo-3-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



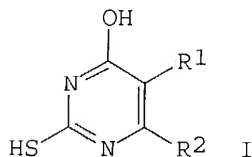
10/634,181



L7 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1994:311346 CAPLUS  
DOCUMENT NUMBER: 120:311346  
TITLE: Treatment of direct-positive silver halide  
photographic material  
INVENTOR(S): Yamamoto, Seichi; Yoshida, Tetsuo  
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05289257	A2	19931105	JP 1992-114174	19920408
PRIORITY APPLN. INFO.:			JP 1992-114174	19920408
OTHER SOURCE(S):	MARPAT 120:311346			

GI



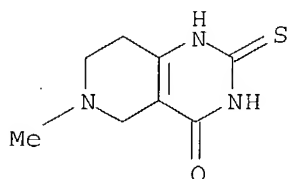
AB The material, comprising a substrate coated with .gtoreq.1 of  
photosensitive Ag halide emulsion layers, is treated by a developer contg.  
a pyrimidine deriv. I (R1-2 = H, alkyl, aryl, alalkyl, OH, mercapto, CO2H,  
sulfo, phosphono, NH2, NO2, CN, halo, alkoxy carbonyl, aryloxy carbonyl,  
carbamoyl, sulfamoyl, alkoxy; R1 and R2 may form a ring).

IT **154115-14-7**  
RL: USES (Uses)  
(direct-pos. silver halide photog. developed with)

RN 154115-14-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2,3,5,6,7,8-hexahydro-6-methyl-2-thioxo-  
(9CI) (CA INDEX NAME)

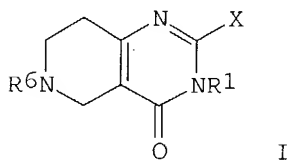
10/634,181



L7 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1994:270443 CAPLUS  
DOCUMENT NUMBER: 120:270443  
TITLE: Preparation of 6-acyl-3-biphenylmethyl-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4(3H)-ones as angiotensin II receptor antagonists  
INVENTOR(S): Newman, Howard  
PATENT ASSIGNEE(S): American Cyanamid Co., USA  
SOURCE: U.S., 10 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5281602	A	19940125	US 1993-52933	19930423
PRIORITY APPLN. INFO.:			US 1993-52933	19930423
OTHER SOURCE(S):		MARPAT 120:270443		

GI



AB Title compds. [I; R1 = 2'-(1H-tetrazol-5-yl)-1,1'-biphenyl-4-ylmethyl] (II; R6 = CO2CH2Ph, COCMe3, Ac, COCMe2OH, COCH:CH2; X = alkyl) were prepd. Thus, Et 1-(2-hydroxy-2-methyl-1-oxopropyl)-4-oxo-3-piperidinecarboxylate was cyclocondensed with BuC(:NH)NH2 (prepn. each given) to give I (R1 = H, R6 = COCMe2OH, X = Bu) which was condensed with 5-(4'-bromomethyl-1,1'-biphenyl-2-yl)-1-triphenylmethyl-1H-tetrazole to give, after deprotection, II (R6 = COCMe2OH, X = Bu). The latter gave 85% inhibition of angiotensin II-induced pressor response in rats at 15mg/kg i.v.

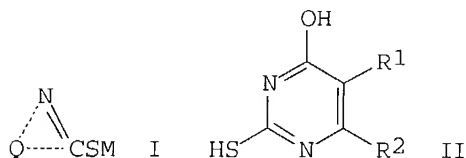
IT 154548-46-6P 154548-47-7P 154548-49-9P  
154548-50-2P 154548-52-4P 154548-53-5P  
154548-54-6P 154548-55-7P 154548-56-8P  
154548-57-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, in prepn. of angiotensin II antagonist)

RN 154548-46-6 CAPLUS  
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-butyl-3,5,7,8-tetrahydro-4-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)

10/634,181

L7 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1994:231865 CAPLUS  
DOCUMENT NUMBER: 120:231865  
TITLE: Development of silver halide photographic material  
INVENTOR(S): Okamoto, Yasuhiro; Hirano, Mitsunori  
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05241281	A2	19930921	JP 1992-75697	19920227
PRIORITY APPLN. INFO.:			JP 1992-75697	19920227
OTHER SOURCE(S):	MARPAT 120:231865			
GI				



AB The photog. material comprising .gtoreq.1 Ag halide emulsion layer and .gtoreq.1 hydrophilic colloidal layer contg. .gtoreq.1 of I (Q = atoms to form 5- or 6-membered heterocycle which may be condensed with arom. hydrocarbon ring or arom. heterocycle; M = H, alkali metal, NH<sub>4</sub><sup>+</sup>, leaving group in alk. condition) on one side of support is treated with a developing soln. contg. II (R<sub>1</sub>-2 = H, alkyl, aryl, aralkyl, OH, SH, COOH, sulfo, phosphono, amino, NO<sub>2</sub>, CN, halo, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfamoyl, alkoxy, R<sub>1</sub> and R<sub>2</sub> may form a ring). The method gives clear images without stains.

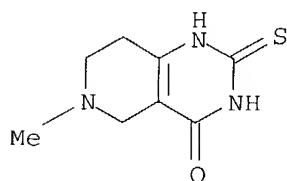
IT 154115-14-7

RL: USES (Uses)

(photog. developers contg.)

RN 154115-14-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2,3,5,6,7,8-hexahydro-6-methyl-2-thioxo-(9CI) (CA INDEX NAME)



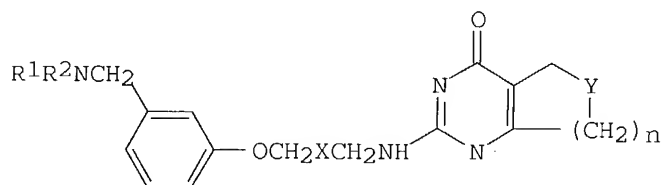
L7 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1993:625974 CAPLUS  
DOCUMENT NUMBER: 119:225974  
TITLE: Preparation of substituted pyrimidinones and antiulcer

10/634,181

agents containing them  
INVENTOR(S): Kitagawa, Osamu; Ishii, Katsuyuki; Hayashi, Akinobu;  
Takemasa, Toshihiko; Yamada, Hiroko; Seiki, Masao  
PATENT ASSIGNEE(S): Zeria Pharm Co Ltd, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05163250	A2	19930629	JP 1991-352941	19911217
PRIORITY APPLN. INFO.:			JP 1991-352941	19911217
OTHER SOURCE(S):	MARPAT 119:225974			

GI



I

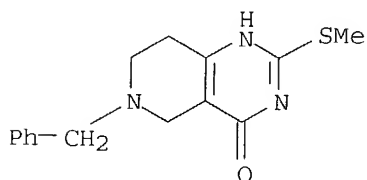
AB The title compds. I [R1, R2 = lower alkyl; NR1R2 may form (un)substituted ring; X = CH2, CH:CH; Y = S, O, SO, SO2, CH2, lower alkyl-substituted C, aralkyl, lower alkyl-substituted N; n = 1-3] and their pharmacol. acceptable salts, which strongly inhibit gastric acid and protect the stomach, are prepd. Refluxing 755 mg 5,6,7,8-tetrahydro-2-methylthio-4(1H)quinazolinone with 1 g 3-[(3-piperidinomethyl)phenoxy]propylamine in MePh for 24 h gave 730 mg I (R1R2N = piperidino, X = Y = CH2, n = 2) (II), which inhibited EtOH-induced ulcer at ED50 13.3 mg/kg in rats, vs. roxatidine. Granules were manufd. from II 20, lactose 315, corn starch 125, cryst. cellulose 25 g, and 200 mL aq. 7.5% hydroxypropyl cellulose soln.

IT 1033-34-7P 67140-12-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of)

RN 1033-34-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-(methylthio)-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

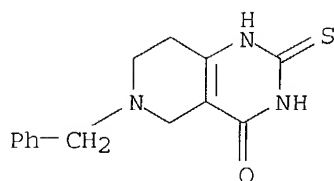


RN 67140-12-9 CAPLUS

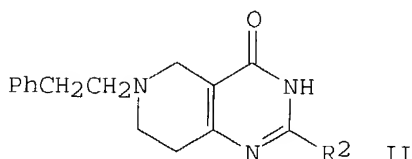
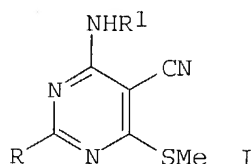
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2,3,5,6,7,8-hexahydro-6-(phenylmethyl)-2-thioxo- (9CI) (CA INDEX NAME)



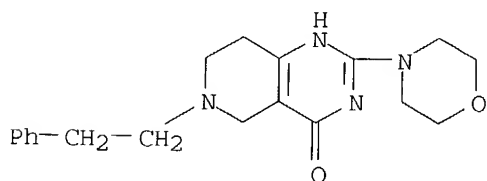
10/634,181



L7 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1993:625911 CAPLUS  
DOCUMENT NUMBER: 119:225911  
TITLE: Chemotherapeutic agents. Part XXIII. Synthesis of .pi.-deficient pyrimidines and fused pyrimidines as leishmanicides  
AUTHOR(S): Ram, Vishnu J.; Haque, Navedul; Nath, Mahendra  
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India  
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1993), 32B(7), 754-9  
CODEN: IJSBDB; ISSN: 0376-4699  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



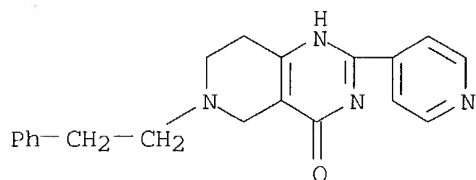
AB Various .pi.-deficient pyrimidines, e.g., I (R = Me, Ph, 4-pyridyl; R1 = H, aryl) and fused pyrimidines, e.g., II (R2 = 4-pyridyl, morpholino, SCH2Ph) have been synthesized and evaluated for their leishmanicidal activity against *L. donovani*. None of the compds. showed significant activity.  
IT 1049-63-4P 150808-11-0P 150808-12-1P  
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
RN 1049-63-4 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-(4-morpholinyl)-6-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 150808-11-0 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(2-phenylethyl)-2-

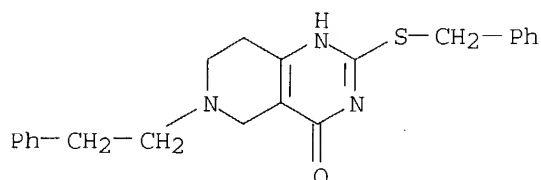
10/634,181

(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 150808-12-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(2-phenylethyl)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L7 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:448598 CAPLUS

DOCUMENT NUMBER: 117:48598

TITLE: Preparation of heterocyclic compounds as psychotropic agents

INVENTOR(S): Imuda, Junichi; Furuya, Yoshiro; Ishitoku, Takeshi; Mizuchi, Akira; Horigome, Kazutoshi; Awaya, Akira

PATENT ASSIGNEE(S): Mitsui Sekiyu Kagaku Kogyo K. K., Japan; Mitsui Seiyaku Kogyo K. K.

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

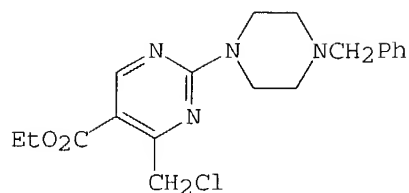
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04054181	A2	19920221	JP 1990-162676	19900622
JP 3036789	B2	20000424		

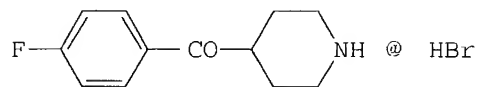
PRIORITY APPLN. INFO.: JP 1990-162676 19900622

OTHER SOURCE(S): MARPAT 117:48598

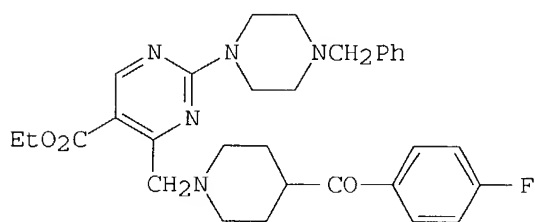
GI



I



II



III

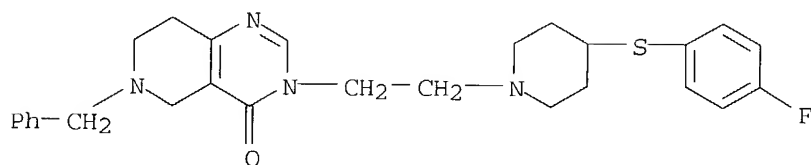
AB Heterocyclic compds. are prepd. as serotonergic and dopaminergic antagonists. Refluxing a mixt. of pyrimidine deriv. I, piperidine salt II, and K<sub>2</sub>CO<sub>2</sub> in MeCOCH<sub>2</sub>CHMe<sub>2</sub> gave 80% III, which showed 39% inhibition of dopaminergic activity at 1 mg/mL. Also prepd. and tested were 16 addnl. heterocyclic compds. Tablet, capsule, and injection formulations were given.

IT 142222-04-6P 142222-05-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as psychotropic agent)

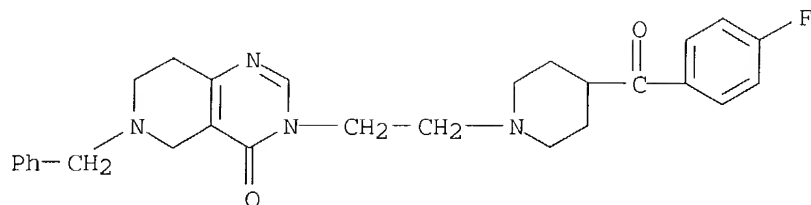
RN 142222-04-6 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-[2-[4-[(4-fluorophenyl)thio]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

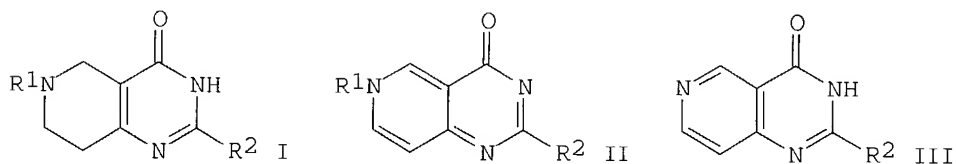


RN 142222-05-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1992:151704 CAPLUS  
 DOCUMENT NUMBER: 116:151704  
 TITLE: Saturated heterocycles. 184. Dehydrogenation of 6-azaquinazoline derivatives. Formation of unexpected quinonediimine intermediates  
 AUTHOR(S): Huber, Imre; Fulop, Ferenc; Lazar, Janos; Bernath, Gabor; Toth, Gabor  
 CORPORATE SOURCE: Inst. Pharm. Chem., Albert Szent-Gyorgyi Med. Univ., Szeged, H-6701, Hung.  
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1992), (1), 157-61  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 116:151704  
 GI



AB 2,6-Disubstituted 5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4(3H)-one (6-azaquinazoline) derivs. I (R1 = PhCH2, R2 = Ph, 4-pyridyl, Me; R1 = Me, R2 = Ph, Me) were synthesized from N-substituted 3-(methoxycarbonyl)-4-piperidones and amidines R2C(:NH)NH2. Compds. I and their debenzylated derivs. underwent dehydrogenation in xylene or in PhNO2 in the presence of a Pd-C catalyst, to give products II (R1 = PhCH2, R2 = Ph, 4-pyridyl; R1 = Me, R2 = Ph) and III (R2 = Ph, 4-pyridyl, Me), resp. It was found that the formation of the two types of products, II or III, from the same mols. depends on the substituents at positions 2 and 6, and on the inert or oxidative character of the solvent used. The quinonediimine forms II can be considered to be intermediates of the transformation I to III.

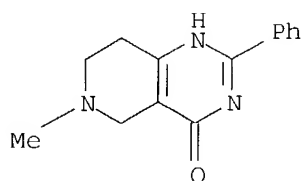
IT 1047-48-9P 1078-16-6P 1448-40-4P  
 139452-52-1P 139452-53-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and dehydrogenation of)

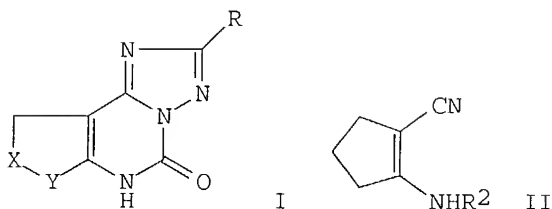
RN 1047-48-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-phenyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

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L7 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1991:536038 CAPLUS  
DOCUMENT NUMBER: 115:136038  
TITLE: Anxiolytic properties of certain annelated  
[1,2,4]triazolo[1,5-c]pyrimidin-5(6H)-ones  
AUTHOR(S): Francis, John E.; Bennett, Debra A.; Hyun, James L.;  
Rovinski, Stephen L.; Amrick, Caryl L.; Loo, Patricia  
S.; Murphy, Deborah; Neale, Robert F.; Wilson, Douglas  
E.  
CORPORATE SOURCE: Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA  
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2899-906  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Title compds. I [R = Ph, 3-FC6H4, 2-ClC6H4, 4-FC6H4, 4-ClC6H4, 2-pyrrolyl, 2-pyridyl, XY = (CH2)n, n = 2-4; XY = N(CH2Ph)CHMe, NHCH2CH2, NPhCH2, NR1CH2CH2, R1 = 2-pyridylmethyl, 3-pyridylmethyl, COCH2Ph, etc.] were prepd. and their anxiolytic properties were examd. Thus, aminocyanocyclopentene II (R2 = H) reacted with (EtO)2CO to give II (R2 = CO2Et) (III). III cyclocondensed with 2-fluorobenzhydrazide to give I (R = 2-FC6H4, XY = CH2CH2). The degree of anxiolytic activity was strongly dependent on the N-substituent in the 9-position.

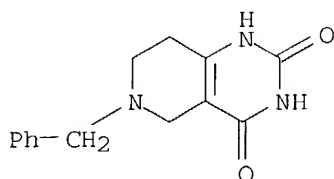
IT 135481-57-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

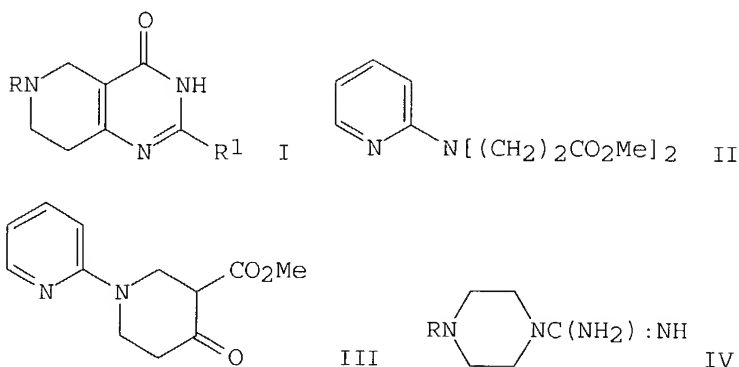
RN 135481-57-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/634,181



L7 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1991:408706 CAPLUS  
DOCUMENT NUMBER: 115:8706  
TITLE: Saturated heterocycles. Part 172. Synthesis of  
2,6-disubstituted 5,6,7,8-tetrahydropyrido[4,3-  
d]pyrimidine derivatives  
AUTHOR(S): Lazar, Janos; Bernath, Gabor  
CORPORATE SOURCE: Inst. Pharm. Chem., Albert Szent-Gyorgyi Med. Univ.,  
Szeged, H-6701, Hung.  
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(7),  
1885-92  
CODEN: JHTCAD; ISSN: 0022-152X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 115:8706  
GI



AB The title compds. (I; R = H, alkyl, substituted Ph, aroyl, pyridyl; R1 = Me, Ph, azolyl) were synthesized via the addn. of CH<sub>2</sub>:CHCO<sub>2</sub>Me to PhCH<sub>2</sub>NH<sub>2</sub> or to .alpha.-aminopyridine, which gave the corresponding diesters, e.g., (II), followed by Dieckmann condensation of the latter to yield the keto esters, e.g., (III), which were condensed with RC(NH<sub>2</sub>):NH or guanidines (IV). Subsequent derivatizations gave a no. of products with potential biol. action; some of them showed analgesic and antiinflammatory effects (no data).

IT 1047-48-9P 1047-49-0P 1448-40-4P  
134200-75-2P 134200-76-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydrogenation of)

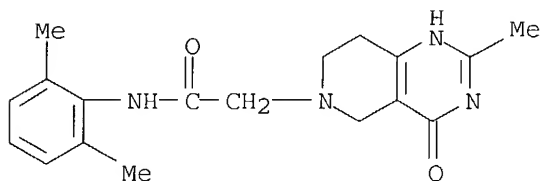
RN 1047-48-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-phenyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

10/634,181

RN 134201-07-3 CAPLUS

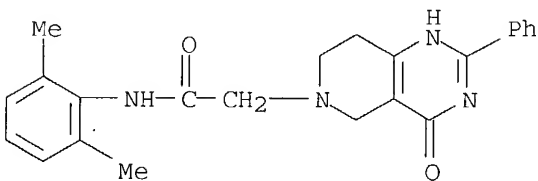
CN Pyrido[4,3-d]pyrimidine-6(4H)-acetamide, N-(2,6-dimethylphenyl)-1,5,7,8-tetrahydro-2-methyl-4-oxo-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 134201-08-4 CAPLUS

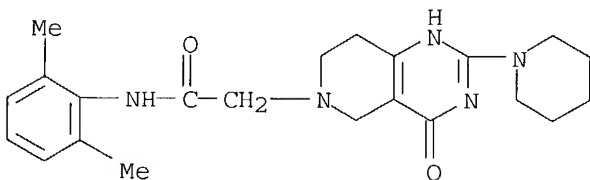
CN Pyrido[4,3-d]pyrimidine-6(4H)-acetamide, N-(2,6-dimethylphenyl)-1,5,7,8-tetrahydro-4-oxo-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 134201-09-5 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-acetamide, N-(2,6-dimethylphenyl)-1,5,7,8-tetrahydro-4-oxo-2-(1-piperidiny)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

L7 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

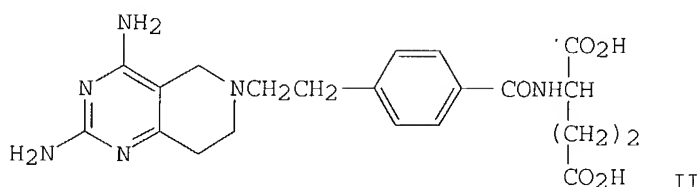
ACCESSION NUMBER: 1989:417135 CAPLUS

DOCUMENT NUMBER: 111:17135

TITLE: 6-Aza-5,8,10-trideaza analogs of tetrahydrofolic acid and tetrahydroaminopterin. 38. Synthesis and

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biological studies  
AUTHOR(S): Rosowsky, Andre; Bader, Henry; Moran, Richard G.;  
Freisheim, James H.  
CORPORATE SOURCE: Dana-Farber Cancer Inst., Boston, MA, 02115, USA  
SOURCE: Journal of Heterocyclic Chemistry (1989), 26(2),  
509-16  
CODEN: JHTCAD; ISSN: 0022-152X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 111:17135  
GI



AB 6-Aza-5,8,10-trideaza-5,6,7,8-tetrahydrofolic acid (I) and 6-aza-5,8,10-trideaza-5,6,7,8-tetrahydroaminopterin (II) were synthesized from 6-aza-5,8,10-trideaza-5,6,7,8-tetrahydropteroic acid (III) and 4-amino-6-aza-5,8,10-trideaza-4-deoxy-5,6,7,8-tetrahydropteroic acid (IV), resp., by mixed carboxylic-carbonic anhydride condensation with di-Me L-glutamate followed by ester hydrolysis. The pterioic acid analogs (III) and (IV) were prepd. in several steps from 1-benzyl-3-carbethoxypiperidin-4-one via 2-amino-6-benzyl-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4(3H)one. I did not inhibit the growth of L1210 mouse leukemic cells in culture, and was not an inhibitor of dihydrofolate reductase (DHFR) or thymidylate synthase (TS). It was a very poor substrate for mouse liver folylpolyglutamate synthetase (FPGS). The 2,4-diamino analog II was only a marginal substrate for FPGS, yet showed activity comparable to methotrexate as a DHFR inhibitor and as an inhibitor of tumor cell growth. The cytotoxicity of II is noteworthy because this compd. appears to be the first example of a classical antifolate which forms polyglutamates poorly even though it contains an intact p-aminobenzoyl-L-glutamic acid side-chain. The inability of I and II to form polyglutamates indicates that a basic nitrogen at position 6 is highly unfavorable for binding to FPGS.

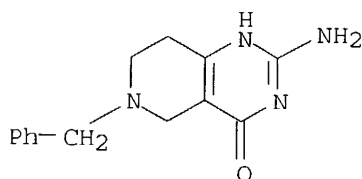
IT 1029-52-3

RL: BIOL (Biological study)

(debenzylation and reaction with phosphorous oxychloride of)

RN 1029-52-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-amino-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT 121187-69-7P

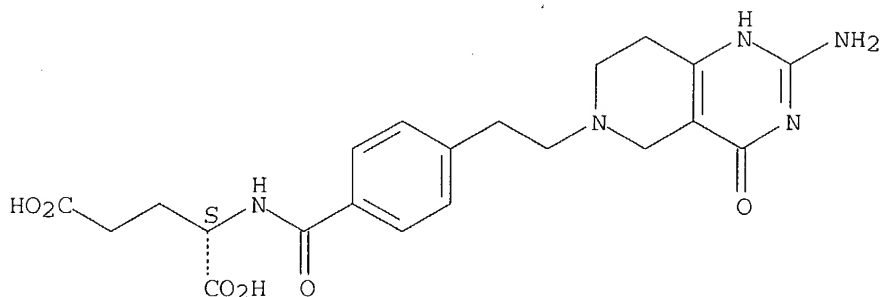
RL: SPN (Synthetic preparation); PREP (Preparation)



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CN L-Glutamic acid, N-[4-[2-(2-amino-1,5,7,8-tetrahydro-4-oxopyrido[4,3-d]pyrimidin-6(4H)-yl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

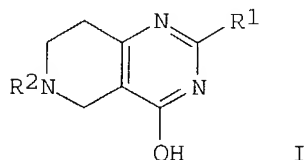
Absolute stereochemistry.



L7 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1989:212843 CAPLUS  
Correction of: 1987:439856  
DOCUMENT NUMBER: 110:212843  
Correction of: 107:39856  
TITLE: Preparation of tetrahydropyrido[4,3-d]pyrimidin-4-ols  
as central nervous system agents  
INVENTOR(S): Kretzschmar, Egon; Meisel, Peter  
PATENT ASSIGNEE(S): VEB Arzneimittelwerk, Ger. Dem. Rep.  
SOURCE: Ger. (East), 12 pp.  
CODEN: GEXXA8  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 241257	A1	19861203	DD 1985-281047	19850926
PRIORITY APPLN. INFO.:			DD 1985-281047	19850926
OTHER SOURCE(S):	CASREACT	110:212843		

GI



AB Title compds I [R1 = C1-5 alkyl, aryl, aralkyl; R2 = 4-FC6H4CO(CH2)3, (4-FC6H4)2CH(CH2)3, PhCH:CHCH2] were prepd. in several steps from I (R2 = PhCH2) as anticonvulsants, sedatives, and tranquilizers (no data). I [R1 = Me2CHCH2 (throughout), R2 = PhCH2] was refluxed in PhMe with ClCO2Et to give 34% I.HCl (R2 = CO2Et). This was refluxed in concd. HCl to give I.2HCl (R2 = H), which was refluxed with (4-FC6H4)2CH(CH2)3Cl in MeCOEt contg. Na2CO3 and catalytic NaI to give 46% I [R1 = Me2CHCH2, R2 = (4-FC6H4)2CH(CH2)3].

IT 109229-13-2P 109229-14-3P 109229-19-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

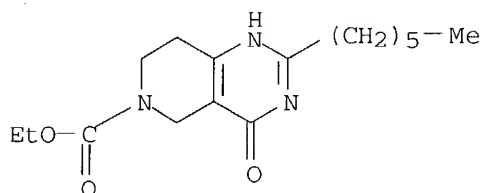
10/634,181

(Reactant or reagent)

(prepn. and deethoxycarbonylation of)

RN 109229-13-2 CAPLUS

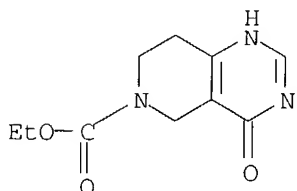
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-hexyl-1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 109229-14-3 CAPLUS

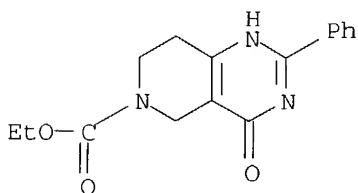
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 109229-19-8 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



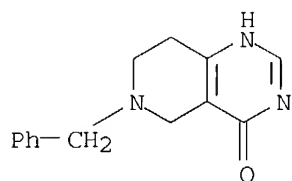
IT 109229-12-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and deprotection of)

RN 109229-12-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-(3-methylbutyl)-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10/634,181

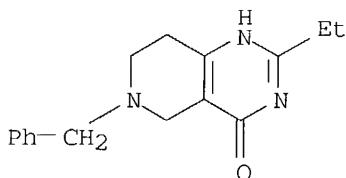


IT 1033-32-5 1448-40-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chloroformates)

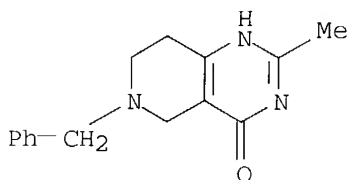
RN 1033-32-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-ethyl-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 1448-40-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

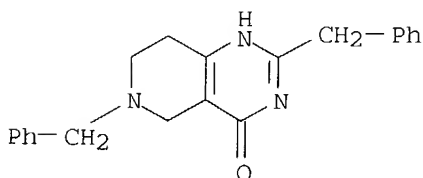


IT 1168-28-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with iso-Pr chloroformate)

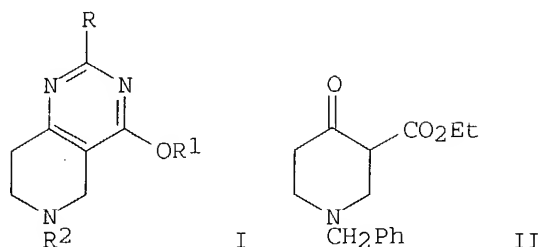
RN 1168-28-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2,6-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

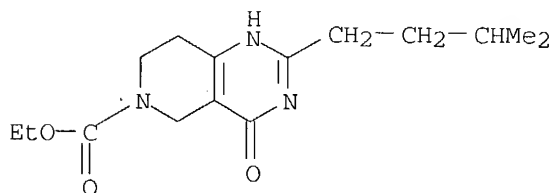


10/634,181

TITLE: Synthesis of 2,6-disubstituted 4-hydroxy-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidines  
AUTHOR(S): Kretzschmar, E.; Meisel, P.  
CORPORATE SOURCE: Direktionsber. Forsch. Entwickl., VEB Pharm. Komb. GERMED, Dresden, Ger. Dem. Rep.  
SOURCE: Pharmazie (1988), 43(7), 475-6  
CODEN: PHARAT; ISSN: 0031-7144  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 110:114783  
GI



AB Pyridopyrimidines I [R = cyclohexyl, CH<sub>2</sub>CH<sub>2</sub>CHMe<sub>2</sub>, Me, CH<sub>2</sub>Ph, H, Ph, Et; R<sub>1</sub> = H, Bu; R<sub>2</sub> = CH<sub>2</sub>Ph, CO<sub>2</sub>Et, CO<sub>2</sub>CHMe<sub>2</sub>, CO<sub>2</sub>Ph, H, (CH<sub>2</sub>)<sub>3</sub>COC<sub>6</sub>H<sub>4</sub>F-4, (CH<sub>2</sub>)<sub>3</sub>CH(C<sub>6</sub>H<sub>4</sub>F-4)<sub>2</sub>] were prepd. from the piperidinone II and HN:CRNH<sub>2</sub>.HCl followed by substitution of I (R<sub>2</sub> = CH<sub>2</sub>Ph). I have no pharmacol activity.  
IT 109229-12-1P 109229-13-2P 109229-14-3P  
109229-15-4P 109229-16-5P 109229-17-6P  
109229-18-7P 109229-19-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and decarboxylation of)  
RN 109229-12-1 CAPLUS  
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-(3-methylbutyl)-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

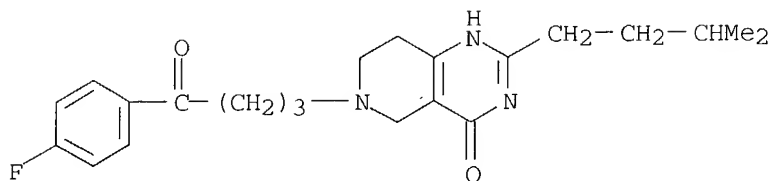


HCl

RN 109229-13-2 CAPLUS  
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-hexyl-1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10/634,181

5,6,7,8-tetrahydro-2-(3-methylbutyl)- (9CI) (CA INDEX NAME)

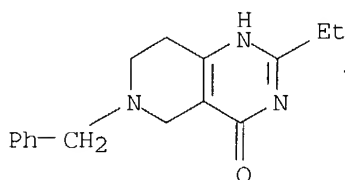


IT 1033-32-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chloroformate)

RN 1033-32-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-ethyl-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:439856 CAPLUS

DOCUMENT NUMBER: 107:39856

TITLE: Preparation of tetrahydropyrido[4,3-d]pyrimidin-4-ols  
as central nervous system agents

INVENTOR(S): Kretzschmar, Egon; Meisel, Peter

PATENT ASSIGNEE(S): VEB Arzneimittelwerk, Ger. Dem. Rep.

SOURCE: Ger. (East), 12 pp.

CODEN: GEXXA8

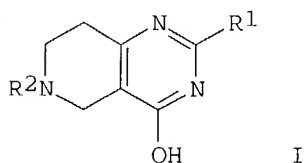
DOCUMENT TYPE: Patent

LANGUAGE: German

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 241257 A1		19861203	DD 1985-281047	19850926

GI



I

AB The title compds. [I; R1 = C1-5 alkyl, aryl, aralkyl; R2 = 4-FC6H4CO(CH2)3, (4-FC6H4)2CH(CH2)3, PhCH:CHCH2] were prepd. in several steps from I (R2 = PhCH2) as anticonvulsants, sedatives, and tranquilizers (no data). I [R1 = Me2CHCH2 (throughout), R2 = PhCH2] was refluxed in

10/634,181

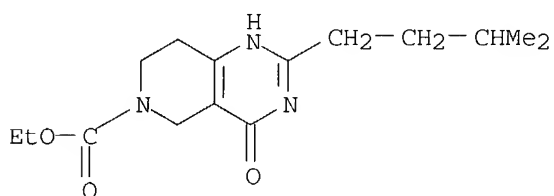
PhMe with ClCO<sub>2</sub>Et to give 34% I.HCl (R<sub>2</sub> = CO<sub>2</sub>Et). This was refluxed in concd. HCl to give I.2HCl (R<sub>2</sub> = H) which was refluxed with (4-FC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>CH(CH<sub>2</sub>)<sub>3</sub>Cl in MeCOEt contg. Na<sub>2</sub>CO<sub>3</sub> and catalytic KI to give 46% I [R<sub>1</sub> = Me<sub>2</sub>CHCH<sub>2</sub>, R<sub>2</sub> = (4-FC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>CH(CH<sub>2</sub>)<sub>3</sub>].

IT 109229-12-1P 109229-13-2P 109229-14-3P  
109229-19-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and deethoxycarbonylation of)

RN 109229-12-1 CAPLUS

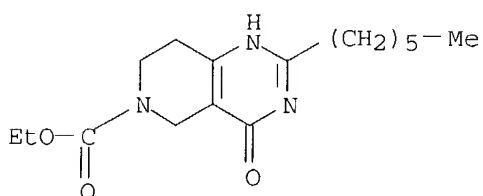
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-(3-methylbutyl)-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 109229-13-2 CAPLUS

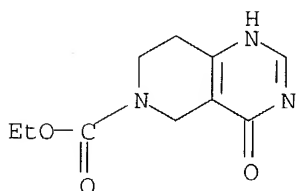
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 2-hexyl-1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

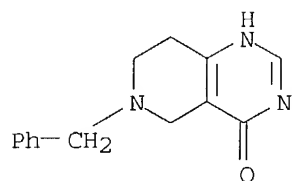
RN 109229-14-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



HCl

10/634,181

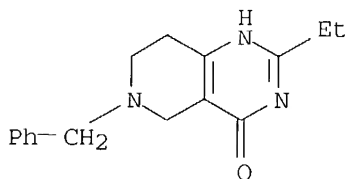


IT 1033-32-5 1448-40-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chloroformates)

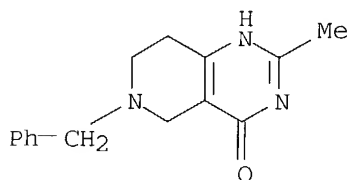
RN 1033-32-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-ethyl-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 1448-40-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

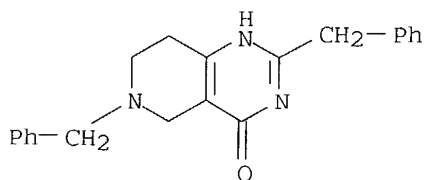


IT 1168-28-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with iso-Pr chloroformate)

RN 1168-28-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2,6-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

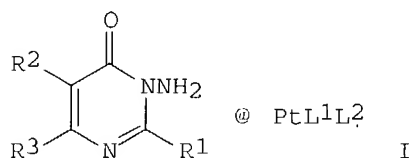


10/634,181

TITLE: 2,3-Diamino-substituted-4(3H)-pyrimidinones and platinum chelates  
INVENTOR(S): Hlavka, Joseph J.; Bitha, Panayota; Lin, Yang-i  
PATENT ASSIGNEE(S): American Cyanamid Co., USA  
SOURCE: U.S., 8 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4577018	A	19860318	US 1983-525531	19830822
PRIORITY APPLN. INFO.:			US 1983-525531	19830822
OTHER SOURCE(S):		CASREACT 105:43092		

GI

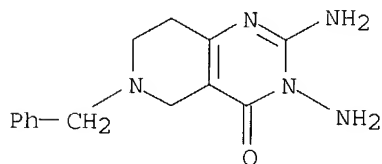


AB Platinum complexes I (R<sub>1</sub> = NH<sub>2</sub>, NHHN<sub>2</sub>; R<sub>2</sub> = H, alkyl, halo, PhCH<sub>2</sub>, HOCH<sub>2</sub>CH<sub>2</sub>; R<sub>3</sub> = alkyl, CF<sub>3</sub>, Ph, 4-ClC<sub>6</sub>H<sub>4</sub>, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>; R<sub>2</sub>R<sub>3</sub> = substituted alkylene or benzo, etc.; L<sub>1</sub>, L<sub>2</sub> = halide, sulfate, nitrate, alkanoate; L<sub>1</sub>L<sub>2</sub> = oxalate, malonate, methylmalonate, succinate, tartronate) were prepd. as antitumor agents. H<sub>2</sub>NC(:NH)NHHN<sub>2</sub>-HCl was treated with 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>COCH<sub>2</sub>CO<sub>2</sub>Et, and the product was mixed with K tetrachloroplatinate to give I (R<sub>1</sub> = NH<sub>2</sub>, R<sub>2</sub> = H, R<sub>3</sub> = 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, L<sub>1</sub> = L<sub>2</sub> = Cl)(II). In the lymphocytic leukemia P388 test with mice, II at 100 mg/kg i.p. increased the lifespan by 140%.

IT **103109-31-5P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, with tetrachloroplatinate)

RN 103109-31-5 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 2,3-diamino-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:442762 CAPLUS

DOCUMENT NUMBER: 103:42762

TITLE: Ionic reactions in carbon dioxide at atmospheric pressure. Relationship to radiolysis

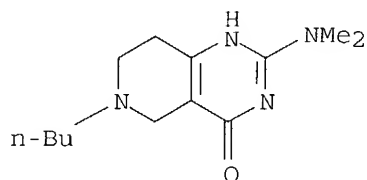
AUTHOR(S): Yasumasa, Ikezoe; Shingo, Matsuoka; Shoichi, Sato

CORPORATE SOURCE: Japan At. Energy Res. Inst., Tokai, Japan



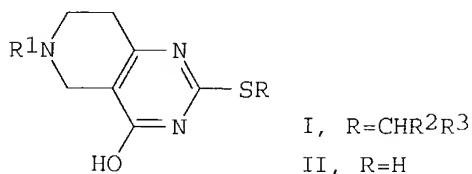
10/634,181

SOURCE: Shitsuryo Bunseki (1984), 32(5), 449R-453R  
CODEN: SHIBAK; ISSN: 0542-8645  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: Japanese  
AB A review with 9 refs. on ionic reactions in atm.-pressure CO<sub>2</sub>. Exptl. observation and roles of a cluster ion (O<sub>2</sub>(CO)<sub>2</sub>)+(CO<sub>2</sub>)<sub>n</sub> are discussed.  
IT 1218-16-2  
RL: PRP (Properties)  
(formation of ion clusters contg., during ionic reactions in carbon dioxide atm.)  
RN 1218-16-2 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 6-butyl-2-(dimethylamino)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



L7 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1978:509556 CAPLUS  
DOCUMENT NUMBER: 89:109556  
TITLE: Pyridopyrimidine compounds  
INVENTOR(S): Shiraki, Masami  
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53028193	A2	19780316	JP 1976-102887	19760828
PRIORITY APPLN. INFO.: GI			JP 1976-102887	19760828



AB Sixteen title compds. I [R<sub>1</sub> = alkyl, aralkyl, acyl; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = alkoxy-carbonyl, carbamoyl, R<sub>4</sub>CO (R<sub>4</sub> = (un)substituted Ph), R<sub>4</sub>ZCO (Z = NH, piperazine-1,4-diyl), R<sub>4</sub>CH(OH)] were prepd. by reaction of II with XCHR<sub>2</sub>R<sub>3</sub> (X = halo). I had antiinflammatory, antipyretic, analgesic, anticholesteremic, and sedative activities; the rat liver lysosome stabilization activity of I is about 30% higher than that of ibuprofen and 10 times as high as that of aspirin. Thus, a mixt. of 10 g II (R<sub>1</sub> = PhCH<sub>2</sub>) and 1.7 g 50% oil NaH in DMF was stirred 30 min at room temp., 7.3

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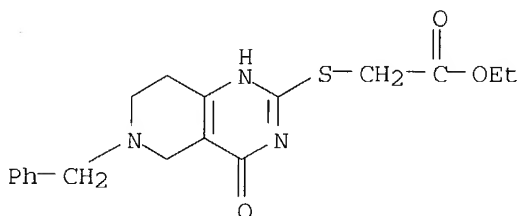
g BzCH<sub>2</sub>Br added, and the whole stirred 5 h at 60.degree. to give I (R<sub>1</sub> = PhCH<sub>2</sub>, R<sub>2</sub> = H, R<sub>3</sub> = Bz).

IT 67140-14-1P 67140-15-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antiinflammatory activity of)

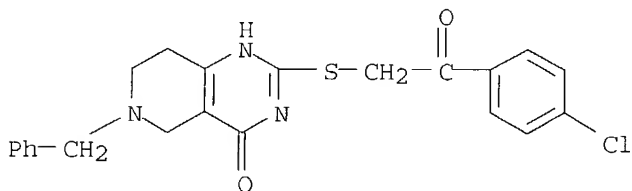
RN 67140-14-1 CAPLUS

CN Acetic acid, [[1,4,5,6,7,8-hexahydro-4-oxo-6-(phenylmethyl)pyrido[4,3-d]pyrimidin-2-yl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 67140-15-2 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-[[2-(4-chlorophenyl)-2-oxoethyl]thio]-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

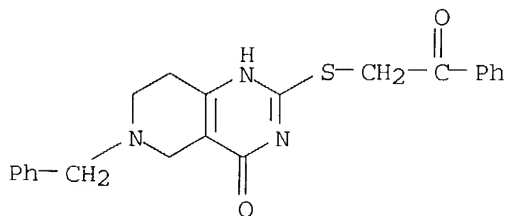


IT 67140-13-0P 67140-14-1P 67140-16-3P  
67140-17-4P 67140-18-5P 67140-19-6P  
67140-20-9P 67140-21-0P 67140-22-1P  
67140-23-2P 67140-24-3P 67140-25-4P  
67236-66-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 67140-13-0 CAPLUS

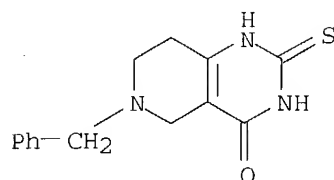
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-[(2-oxo-2-phenylethyl)thio]-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



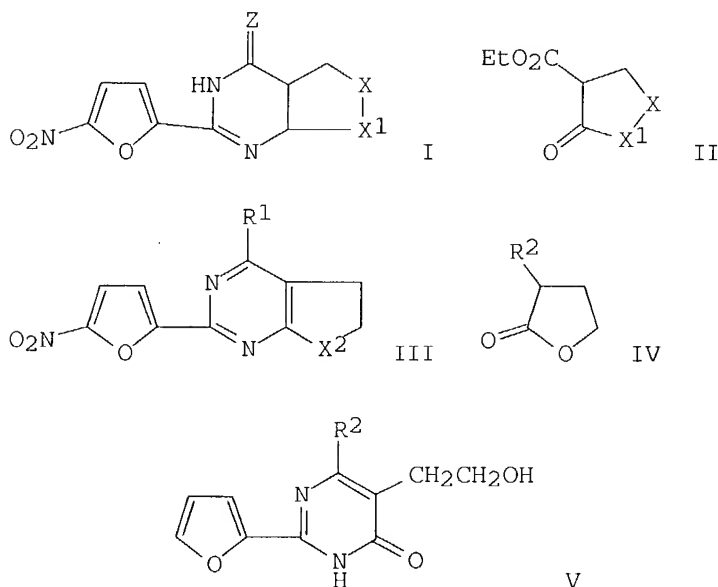
RN 67140-14-1 CAPLUS

CN Acetic acid, [[1,4,5,6,7,8-hexahydro-4-oxo-6-(phenylmethyl)pyrido[4,3-d]pyrimidin-2-yl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

10/634,181



L7 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1977:29739 CAPLUS  
DOCUMENT NUMBER: 86:29739  
TITLE: Chemotherapeutic nitroheterocycles. 25.  
2-(5-Nitro-2-furyl)-5,6,7,8-tetrahydroquinazolines and  
related compounds  
AUTHOR(S): Albrecht, R.; Schumann, K.  
CORPORATE SOURCE: Forschungslab., Schering A.-G., Berlin, Fed. Rep. Ger.  
SOURCE: European Journal of Medicinal Chemistry (1976), 11(2),  
155-8  
CODEN: EJMCA5; ISSN: 0223-5234  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 86:29739  
GI



AB Fused pyrimidines I [XX1 = (CH2)2, (CH2)3, NBuCH2CH2; Z = O] were prepd. by treating 2-furamidine-HCl with NaOEt and II and nitrating the product. Chlorination of I [XX1 = (CH2)3] gave quinazoline III, which was aminated to give III [R = NH2, NHMe, pyrrolidino-HCl, morpholino-HCl, NHCH2CH2NMe2-2HCl; X2 = (CH2)2]. 2-Furamidine-HCl and furanones IV (R2 = CO2Et, Ac, cyano) gave pyrimidinones V (R2 = OH, Me, NH2), which were cyclized with concd. H2SO4 and the products nitrated to give III (R1 = R2 of V, X2 = O). Also prepd. was I [XX1 = (CH2)2, Z = S]. III (R1 = Cl, Me, basic substituent) had min. inhibitory concns. against Trichomonas

10/634,181

vaginolis of 0.05-1.6 .mu.g/ml.

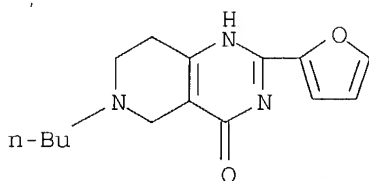
IT 61378-79-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and nitration of)

RN 61378-79-8 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 6-butyl-2-(2-furanyl)-5,6,7,8-tetrahydro-(9CI) (CA INDEX NAME)

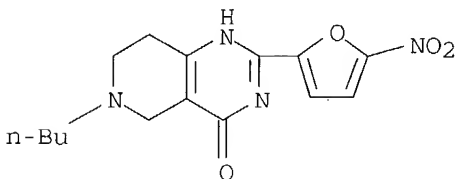


IT 61378-82-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 61378-82-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 6-butyl-5,6,7,8-tetrahydro-2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1969:438993 CAPLUS  
DOCUMENT NUMBER: 71:38993  
TITLE: 6-Acetyl-4-hydroxy-2-mercapto-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine  
INVENTOR(S): Mayer, Julian R.  
PATENT ASSIGNEE(S): Sterling Drug Inc.  
SOURCE: U.S., 2 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3444168	A	19690513	US 1966-605954	19661230

PRIORITY APPLN. INFO.: US 1966-605954 19661230

GI For diagram(s), see printed CA Issue.

AB The title compd. (I), useful as a psychomotor stimulant and depressant, was prepd. Et 1-acetyl-4-oxo-3-piperidinecarboxylate (6.5 g.) in 75 cc. H2O was treated with 2.3 g. thiourea at 70.degree. in the presence of 4.1 g. of K2CO3; the soln. was heated 45 min. at 95.degree., the pH adjusted to 8 by the addn. of AcOH, the ppt. filtered and worked up to give 4.9 g. I, m. >300.degree..

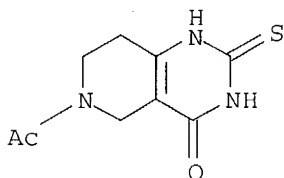
10/634,181

IT 23352-41-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 23352-41-2 CAPLUS

CN Ketone, 7,8-dihydro-4-hydroxy-2-mercaptopyrido[4,3-d]pyrimidin-6(5H)-yl  
methyl (8CI) (CA INDEX NAME)



L7 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:473618 CAPLUS

DOCUMENT NUMBER: 67:73618

TITLE: 4-Hydroxy-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine  
substitution products

INVENTOR(S): Ohnacker, Gerhard

PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.

SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

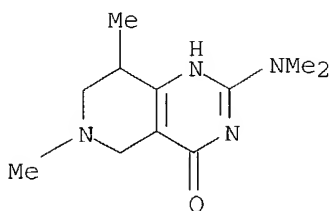
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3306901		19670228		
PRIORITY APPLN. INFO.:		DE		19620322

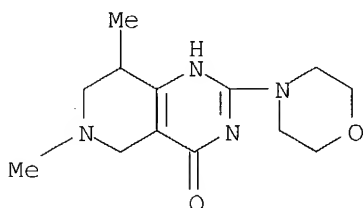
GI For diagram(s), see printed CA Issue.

AB The subject compds., which exhibit antiphlogistic, antipyretic, diuretic, bacteriostatic, sedative, and coronary dilating activities, have the general formula I. I is prepd. by treating a pyridonecarboxylic acid lower alkyl ester or its addn. salt with an amidine or its inorg. or org. addn. salt. Thus, 22.2 g. Me 1,5-dimethyl-4-piperidone-3-carboxylate-HCl, 19.1 g. phenylacetamide-HCl, and 20.8 g. K<sub>2</sub>CO<sub>3</sub> were each dissolved in 50 ml. H<sub>2</sub>O and the solns. combined and heated to 60.degree. a short time to give 20 g. I (R = Me, R<sub>1</sub> = Me, R<sub>2</sub> = PhCH<sub>2</sub>), m. 193-5.degree. (MeOH). Also prepd. are the following I (R, R<sub>1</sub>, R<sub>2</sub>, and m.p. given): Me, H, SEt, 156-7.degree.; Me, Me, SMe, 212.degree.; Me, Me, NBu<sub>2</sub>, 134-5.degree.; Bu, H, NHC<sub>6</sub>H<sub>13</sub>, 129.degree.; Et, H, SMe, 187.degree.; Pr, H, SMe, 198-9.degree.; Pr, H, SEt, 132.degree.; iso-Pr, H, SEt, 153.degree.; Bu, H, SMe, 184.degree.; iso-Bu, H, SMe, 207-8.degree.; Me, H, CH<sub>2</sub>Ph, 218-19.degree.; Me, Me, Me, 177-9.degree.; Et, H, CH<sub>2</sub>Ph, 177-8.degree.; Pr, H, Me, 165-7.degree.; iso-Pr, H, CH<sub>2</sub>Ph, 163-5.degree.; Bu, H, Me, 146-7.degree.; Bu, H, CH<sub>2</sub>Ph, 113-14.degree.; Pr, H, Bu, 109-11.degree.; Me, H, NBu<sub>2</sub>, 135-7.degree.; Me, H, piperidino, 231.degree.; Me, H, morpholino, 222-3.degree.; Me, Me, NMe<sub>2</sub>, 185-6.degree.; Me, Me, morpholino, 197-8.degree.; Et, H, NBu<sub>2</sub>, 94-6.degree.; Et, H, NMe<sub>2</sub>, 56-7.degree.; Et, H, 1-pyrrolidinyl, 190-2.degree.; Et, H, piperidino, 170.degree.; Et, H, morpholino, 186.degree.; Et, H, 4-methylpiperazino, 162-3.degree.; Pr, H, 1-pyrrolidinyl, 182-4.degree.; Pr, H, piperidino, 184-5.degree.; Pr, H, morpholino, 186-7.degree.; Pr, H, 4-methylpiperazino, 148-50.degree.; Pr, H, piperidino, 209-11.degree.; Pr, H, morpholino, 230-2.degree.; Bu, H, NBu<sub>2</sub>, 104.degree.; Bu, H, pyrrolidinyl, 172-3.degree.; Bu, H, piperidino,

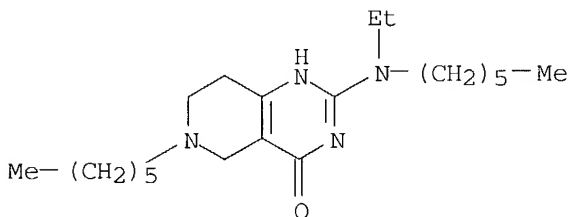
10/634,181



RN 15637-75-9 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6,8-dimethyl-2-morpholino-  
(8CI) (CA INDEX NAME)



RN 15641-78-8 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4-ol, 2-(ethylhexylamino)-6-hexyl-5,6,7,8-  
tetrahydro- (8CI) (CA INDEX NAME)



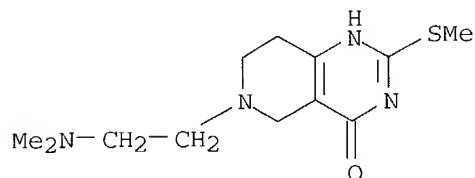
L7 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1966:447757 CAPLUS  
DOCUMENT NUMBER: 65:47757  
ORIGINAL REFERENCE NO.: 65:8932c-h,8933a-e  
TITLE: 5,6,7,8-Tetrahydropyrido [4,3-d] pyrimidines  
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H., Neth.  
SOURCE: 9 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	NL 6602499		19660525	NL	19660225

GI For diagram(s), see printed CA Issue.  
AB The title compds., I, were prepd., where R = H or Me, R' is an aryl, aralkyl, cycloalkyl, or dialkylaminoalkyl group, and R'' is an amino or substituted amino group. The I exhibit antiinflammatory, antipyretic, diuretic, bacteriostatic, sedative, and coronary dilating activity. The

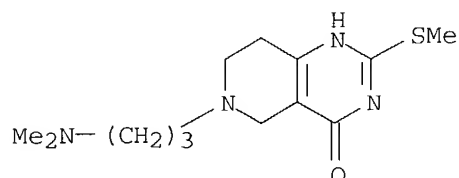
10/634,181

2-(methylthio)- (8CI) (CA INDEX NAME)



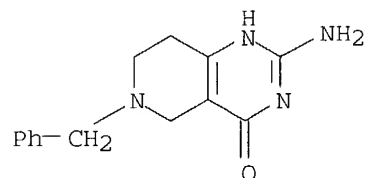
RN 1029-50-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-[3-(dimethylamino)propyl]-5,6,7,8-tetrahydro-2-(methylthio)- (7CI, 8CI) (CA INDEX NAME)



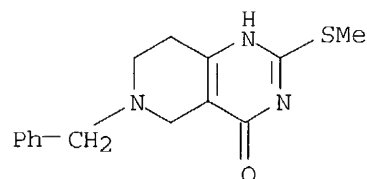
RN 1029-52-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-amino-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



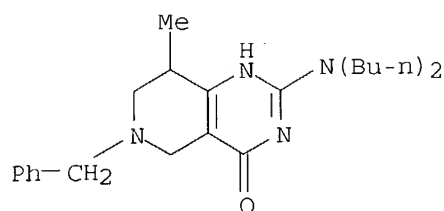
RN 1033-34-7 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-(methylthio)-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 1033-39-2 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 2-amino-5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)



L7 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1966:27641 CAPLUS

DOCUMENT NUMBER: 64:27641

ORIGINAL REFERENCE NO.: 64:5121g-h,5122a-f

TITLE: Benzodiazepines

INVENTOR(S): Reeder, Earl; Sternbach, Leo H.

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.

SOURCE: 5 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3222359		19651207	US	19610728
GB 1017277			GB	

GI For diagram(s), see printed CA Issue.

AB The title compds., characterized as 5-phenyl-1,2-dihydro-3H-1,4-benzodiazepines (I), which are useful as muscle relaxants, sedatives, and anticonvulsants, were produced by reacting an alkylene-1,2-diamine with a benzophenone contg. a halogen substituent ortho to the CO group. To a clear soln. of 80 g. NaNO<sub>2</sub> in 460 ml. concd. H<sub>2</sub>SO<sub>4</sub>, 200 g. 2-chloro-5-trifluoromethylaniline was slowly added at 10-20.degree., stirred 1 hr. at 20.degree., poured into a mixt. of 200 g. NaCl and 1.6 kg. ice, and the excess NaCl filtered off. A soln. of 280 g. ZnCl<sub>2</sub> in 300 ml. H<sub>2</sub>O was added to the filtrate, kept at 0.degree. overnight and the pptd. double salt of the corresponding diazonium compd. collected (291 g.) and added to a soln. of 120 g. NaCN and 72 g. CuCN in 300 ml. H<sub>2</sub>O. Na<sub>2</sub>CO<sub>3</sub> (24 g.) was added, the mixt. was stirred 1 hr. at 20, 0.5 hr. at 70.degree., cooled, and extd. with Et<sub>2</sub>O to give 2-chloro-5-trifluoromethylbenzonitrile (II). A soln. of 39 g. II in 200 ml. C<sub>6</sub>H<sub>6</sub> was added with stirring to a soln. of PhMgBr prepd. from 9.5 g. Mg, 58.5 g. PhBr, and 500 ml. anhyd. Et<sub>2</sub>O. The solvent (44 ml.) was distd., the residual mixt. refluxed 16 hrs., treated with 40 g. NH<sub>4</sub>Cl and 200 g. ice, and extd. with C<sub>6</sub>H<sub>6</sub> which was treated with 40 ml. concd. HCl to give 2-chloro-5-trifluoromethylbenzophenone (III) imine-HCl (IIIa), m. 250-62.degree.. A mixt. of 60 g. IIIa, 300 ml. PhMe, and 300 ml. 25% H<sub>2</sub>SO<sub>4</sub> was refluxed overnight to yield III, m. 39-40.degree. (cor.). A soln. of 82.1 g. III in 300 ml. anhyd. C<sub>5</sub>H<sub>5</sub>N was treated with 89.9 g. H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> (IV), refluxed 5 hrs. with stirring, allowed to cool overnight, evapd. in vacuo, the residue dissolved in 500 ml. 0.6N HCl and extd. with Et<sub>2</sub>O. The cooled aq. layer was made basic with 3N NaOH to yield I (R = H, R' = 7-F3C) (Ia), m. 110-11.degree. (hexane); Ia.HCl m. 283-5.degree. (MeOH-Et<sub>2</sub>O). The following I were similarly prepd. from the appropriate diamine and appropriate benzophenone (given R, R', m.p., and crystn. solvent): H, 7-NO<sub>2</sub> (Ib), 211-12.degree., Me<sub>2</sub>CO; H, H, 144-6.degree., hexane; H, 7-Cl, 170-1.degree., Et<sub>2</sub>O; Me, 7-NO<sub>2</sub> (Ic), 249-50.degree., CH<sub>2</sub>Cl<sub>2</sub>. To a soln. of 160 g. Ib in 1.6 l. HCONMe<sub>2</sub> was added 35.6 g. NaOMe, the mixt. stirred 1 hr. at room temp., and treated

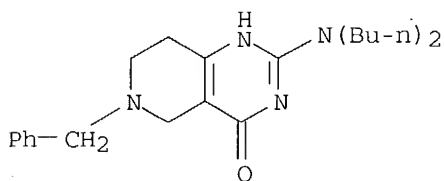


with 65.2 ml. Me<sub>2</sub>SO<sub>4</sub> and stirred 2 hrs. to give 1-methyl-7-nitro-5-phenyl-1,2-dihydro-3H-1,4-benzodiazepine, m. 187-8.degree.. A soln. of 2 g. Ic in 40 ml. 3N HCl was refluxed 21 hrs., cooled, made alk. with dil. NaOH soln., and extd. with CH<sub>2</sub>Cl<sub>2</sub> to yield 2-(2-aminopropylamino)-5-nitrobenzophenone (V), m. 98-9.degree.; HCl salt m. 204-5.degree.. A soln. of V in C<sub>5</sub>H<sub>5</sub>N was recycled by refluxing to give Ic again. A suspension of 28.1 g. Ic in 250 cc. MeOH was hydrogenated at room temp. and atm. pressure in the presence of 6 g. wet Raney Ni to yield 1.2HCl (R = Me, R' = 7-NH<sub>2</sub>) (Id.2HCl), m. 277-80.degree. (decompn.); Id m. 128-9.degree.. Ib was similarly converted to 2-(2-aminoethylamino)-5-nitrobenzophenone (VI).HCl, m. 225-7.degree.. VI.HCl was converted to VI, m. 118-19.degree., which was then recycled as above to Ib. A stirred suspension of 0.76 g. LiAlH<sub>4</sub> in 25 ml. dry tetrahydrofuran (THF) was added to a soln. of 2.84 g. 7-chloro-3-methyl-5-phenyl-3H-1,4-benzodiazepine-2(1H)-one in 50 ml. THF, refluxed 25 min., treated with ice, and worked up in the usual manner to yield I (R = Me, R' = 7-Cl) (Ie), m. 127-8.degree.. To a cooled, stirred soln. of 6.4 g. Id.2HCl in 30 ml. 6N HCl was added within 10 min. 20 ml. N NaNO<sub>2</sub> at 5.degree.. The soln. was stirred 15 min. at 0.degree. and added within 5 min. to a stirred soln. (28.degree.) of 4 g. CuCl in 40 ml. concd. HCl, stirred 15 min. at room temp., 30 min. at 40.degree., and 20 min. at 85-90.degree.. The cooled soln. was treated with excess NH<sub>4</sub>OH and extd. with CH<sub>2</sub>Cl<sub>2</sub> to give Ie. III (22 g.) in 250 ml. anhyd. C<sub>5</sub>H<sub>5</sub>N and 210 g. IV yielded a mixt. of 2,3-dihydro-5-phenyl-7-trifluoromethyl-1H-1,4-benzodiazepine and 2-(2-aminoethylamino)-5-trifluoromethyl-benzophenone which was refluxed in C<sub>5</sub>H<sub>5</sub>N to yield 2,3-dihydro-5-phenyl-7-trifluoromethyl-1H-1,4-benzodiazepine, m. 116-18.degree. (hexane), which is a dimorphic cryst. form of Ia. The two are interconvertible.

IT 1054-26-8, Pyrido[4,3-d]pyrimidin-4-ol, 6-benzyl-2-(dibutylamino)-5,6,7,8-tetrahydro-  
(prepn. of)

RN 1054-26-8 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-benzyl-2-(dibutylamino)-5,6,7,8-tetrahydro-  
(7CI, 8CI) (CA INDEX NAME)



L7 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1966:27617 CAPLUS

DOCUMENT NUMBER: 64:27617

ORIGINAL REFERENCE NO.: 64:5112d-g

TITLE: 2-Amino-3,5-dialkyl-6-phenyl-4(3H)-pyrimidinones

PATENT ASSIGNEE(S): G. D. Searle & Co.

SOURCE: 8 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

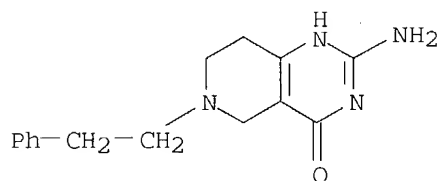
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1003802		19650908	GB	
PRIORITY APPLN. INFO.:			US	19630604

10/634,181

GI For diagram(s), see printed CA Issue.  
AB The title compds. are prepd. and can be used as diuretics. Thus, a mixt. of 30 parts 2-amino-5-methyl-6-phenyl-4-pyrimidinol, 80 parts MeI, 12 parts KOH, and 160 parts EtOH is refluxed 1.25 hrs. to give 2-amino-3,5-dimethyl-6-phenyl-4(3H)-pyrimidinone, m. 216-17.degree. (EtOH). Similarly prepd. are the following I (Ar = Ph) (R, R1, and m.p. given): Et, Me, 184.5-86.degree. (40% EtOH); Pr, Me, 240-2.degree.; n-octyl, Me, 199-200.degree. (EtOH); allyl, Me, 177-9.degree. (EtOH); HC.tplbond.CCH2, Me, .apprx.215.degree. (MeOH); HC.tplbond.CCH2, HC.tplbond.CCH2, 220-2.degree.; HOCH2CH2, Me, 217-18.degree.; HO(CH2)3, Me, .apprx.202.degree.; MeCH(OH)CH2, Me, .apprx.170.degree.; HOCH2CH2, allyl, 193-4.degree.; HOCH2CH2, HC.tplbond.CCH2, 206-8.degree.; MeO(CH2)3, Me, --; EtOCH2CH2, Me, 172-3.degree.; PhOCH2CH2, Me, 184-5.degree.; PhO(CH2)3, Me, --; HOCH2CH2, EtOCH2CH2, 135-6.degree.; HOCH2CH2, Et, 210-12.degree.; HOCH2CH2, Pr, 183-4.degree.; HOCH2CH2, Bu, 183-4.degree.; HOCH2CH2, HOCH2, 240-1.degree.; Me2NCH2CH2, Me, 195-7.degree. (MeOH); 2-piperidinoethyl, Me, 203-5.degree.; 3-pyrrolidinylpropyl, Me, --; 2-morpholinoethyl, Me, 234-5.degree.; 3-morpholinopropyl, Me, --. Similarly prepd. is I (R = HOCH2CH2, R1 = Me, Ar = p-ClC6H4), m. 213-15.degree. (EtOH). A mixt. of 30 parts 3-(2-hydroxyethyl)-5-methyl-2-ethylthio-6-phenyl-4(3H)-pyrimidinone, 100 parts NH3, and 320 parts EtOH is heated 16 hrs. at 150.degree. in a closed reactor to give I (R = HOCH2CH2, R1 = Me, Ar = Ph), m. 220-2.degree. (MeOH). Similarly prepd. are (m.p. given): I (R = HOCH2CH2, R1 = HC.tplbond.CCH2, Ar = Ph), 206-8.degree.; I (R = HOCH2CH2, R1 = EtOCH2CH2, Ar = Ph), 135-6.degree..  
IT **1033-39-2**, Pyrido[4,3-d]pyrimidin-4-ol, 2-amino-5,6,7,8-tetrahydro-6-phenethyl- (prepn. of)  
RN 1033-39-2 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4-ol, 2-amino-5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)

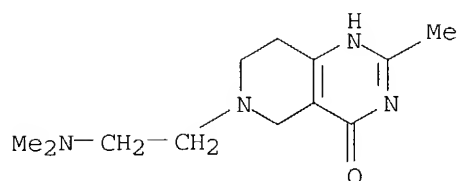


L7 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1966:27616 CAPLUS  
DOCUMENT NUMBER: 64:27616  
ORIGINAL REFERENCE NO.: 64:5111e-h,5112a-d  
TITLE: 5,6,7,8 - Tetrahydropyrido[4,3 - d]pyrimidines  
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H.  
SOURCE: 13 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6400580		19650726	NL	19640124

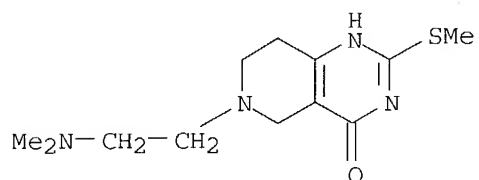
GI For diagram(s), see printed CA Issue.  
AB I have therapeutic value. II (prepd. by known methods, but not always isolated) and salts of RC(:NH)NH2 (III) gave I. The following II (R = H) were used (R1 and m.p. of HCl salt given): Ph, 146.degree.; CH2Ph (IV),

10/634,181



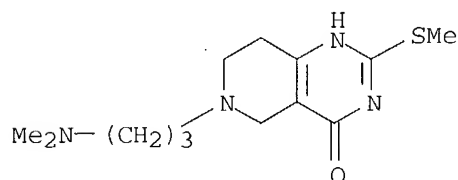
RN 1026-34-2 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-[2-(dimethylamino)ethyl]-5,6,7,8-tetrahydro-2-(methylthio)- (8CI) (CA INDEX NAME)



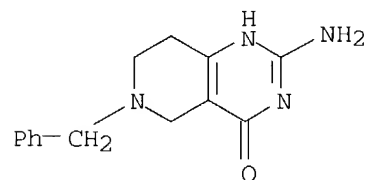
RN 1029-50-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-[3-(dimethylamino)propyl]-5,6,7,8-tetrahydro-2-(methylthio)- (7CI, 8CI) (CA INDEX NAME)



RN 1029-52-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-amino-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 1029-53-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)

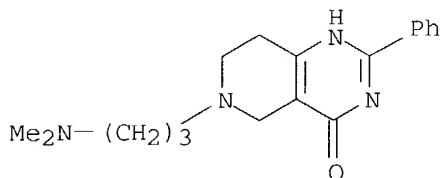
10/634,181

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-[3-(dimethylamino)propyl]-5,6,7,8-tetrahydro-2-phenyl-, oxalate (1:2) (8CI) (CA INDEX NAME)

CM 1

CRN 1044-04-8

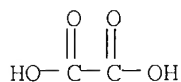
CMF C18 H24 N4 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



L7 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1965:424196 CAPLUS  
DOCUMENT NUMBER: 63:24196  
ORIGINAL REFERENCE NO.: 63:4312c-h,4313a  
TITLE: 5,6,7,8 - Tetrahydropyrido[4,3 - d]pyrimidines  
INVENTOR(S): Ohnacker, Gerhard  
PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.  
SOURCE: 14 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

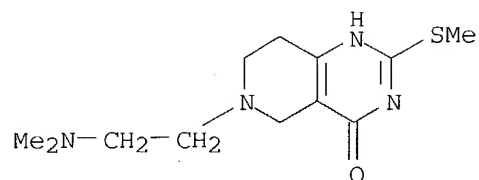
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3186991		19650601	US	

PRIORITY APPLN. INFO.: DE 19620322

GI For diagram(s), see printed CA Issue.

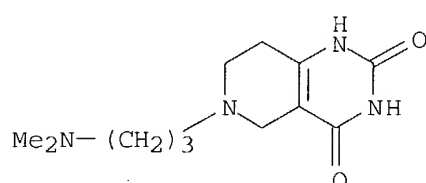
AB The following piperidonecarboxylic acid alkyl esters (I) were prepd. by means of the Dieckmann reaction from iminodipropionic acid alkyl esters and NaNH<sub>2</sub> or metallic Na (R and m.p. of hydrochloride given): Ph, 146.degree.; PhCH<sub>2</sub> (Ia), 182.degree.; PhCH<sub>2</sub>CH<sub>2</sub>, 166.degree.; Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, 200.degree.; Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, 186.degree.; Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, 174.degree.; Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, 154.degree.. Also prepd. was II.HCl, m. 194.degree.. Tetrahydropyridopyrimidines (III) were prepd. as follows. A soln. of 29.7 g. Ia, 9.5 g. MeC(NH<sub>2</sub>):NH, and 27.6 g. K<sub>2</sub>CO<sub>3</sub> in 150 ml. H<sub>2</sub>O was stirred at 50.degree. for 5 hrs. and 25.degree. for 15 hrs. to yield 9.6 g. III (R = PhCH<sub>2</sub>, R<sub>1</sub> = Me), m. 195-7.degree. (EtOH). The following III were similarly prepd. from the appropriate carboxylic acid ethyl ester dihydrochloride and amidine (R, R<sub>1</sub>, and m.p. given): Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>Ph, 135.degree.; PhCH<sub>2</sub>, Ph, 245.degree.; Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, Ph, 172-4.degree.;

10/634,181



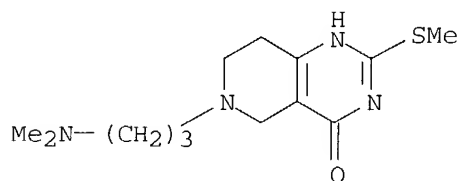
RN 1026-37-5 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4-diol, 6-[3-(dimethylamino)propyl]-5,6,7,8-tetrahydro- (8CI) (CA INDEX NAME)



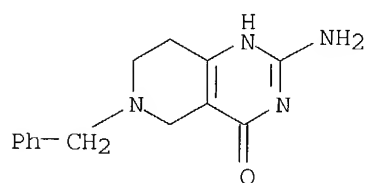
RN 1029-50-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-[3-(dimethylamino)propyl]-5,6,7,8-tetrahydro-2-(methylthio)- (7CI, 8CI) (CA INDEX NAME)



RN 1029-52-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-amino-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



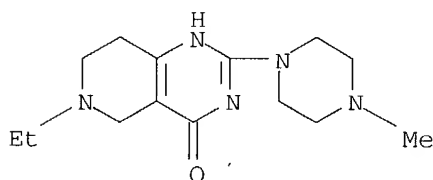
RN 1029-53-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)

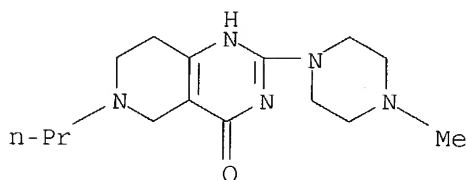
10/634,181

ACCESSION NUMBER: 1965:51723 CAPLUS  
DOCUMENT NUMBER: 62:51723  
ORIGINAL REFERENCE NO.: 62:9150h,9151a-b  
TITLE: Ethers of 2-amino-5-methyl-6-phenylpyrimidines  
INVENTOR(S): Wagner, Hans A.  
PATENT ASSIGNEE(S): G. D. Searle & Co.  
SOURCE: 2 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3167553		19650126	US	19630508
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. were antibiotics. To a soln. of Na 23 in CH <sub>2</sub> (OH)CH <sub>2</sub> OH 1500 was added 2-amino-4-chloro-5-methyl-6-phenylpyrimidine 219, the mixt. poured into H <sub>2</sub> O 20,000 parts, and the solid filtered off to give 2-amino-4-(2-hydroxyethoxy)-5-methyl-6-phenylpyrimidine, m. 143.degree. (MeOH). Similarly prepd. were the following I (R and m.p. given): (CH <sub>2</sub> ) <sub>3</sub> OH, 140-1.degree.; (CH <sub>2</sub> ) <sub>2</sub> NH <sub>2</sub> , 172-3.degree.; (CH <sub>2</sub> ) <sub>2</sub> NMe <sub>2</sub> , 75-6.degree.; (CH <sub>2</sub> ) <sub>3</sub> NEt <sub>2</sub> , --; and (CH <sub>2</sub> ) <sub>2</sub> OPh, 155-6.degree..				
IT	<b>1032-79-7</b> , Pyrido[4,3-d]pyrimidin-4-ol, 6-ethyl-5,6,7,8-tetrahydro-2-(4-methyl-1-piperazinyl)- <b>1036-80-2</b> , Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-2-(4-methyl-1-piperazinyl)-6-propyl- <b>1040-26-2</b> , Pyrido[4,3-d]pyrimidin-4-ol, 6-butyl-5,6,7,8-tetrahydro-2-(4-methyl-1-piperazinyl)- <b>1233-58-5</b> , Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-isobutyl-2-(4-methyl-1-piperazinyl)- (prepn. of)				
RN	1032-79-7 CAPLUS				
CN	Pyrido[4,3-d]pyrimidin-4-ol, 6-ethyl-5,6,7,8-tetrahydro-2-(4-methyl-1-piperazinyl)- (7CI, 8CI) (CA INDEX NAME)				

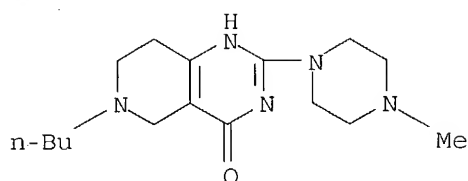


RN 1036-80-2 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-2-(4-methyl-1-piperazinyl)-6-propyl- (7CI, 8CI) (CA INDEX NAME)

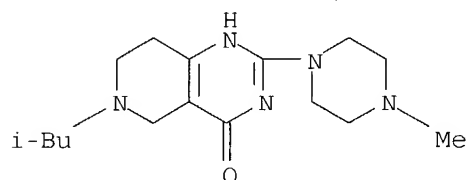


RN 1040-26-2 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4-ol, 6-butyl-5,6,7,8-tetrahydro-2-(4-methyl-1-piperazinyl)- (7CI, 8CI) (CA INDEX NAME)

10/634,181



RN 1233-58-5 CAPLUS  
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-isobutyl-2-(4-methyl-1-piperazinyl)- (7CI, 8CI) (CA INDEX NAME)



L7 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1965:51722 CAPLUS  
DOCUMENT NUMBER: 62:51722  
ORIGINAL REFERENCE NO.: 62:9150b-h  
TITLE: 5,6,7,8 - Tetrahydropyrido[4,3 -d]pyrimidines  
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H.  
SOURCE: 16 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M2928		19641214	FR	
GB 1033383			GB	

PRIORITY APPLN. INFO.: DE 19620322

GI For diagram(s), see printed CA Issue.

AB Alkyl 4-piperidone-3-carboxylates are treated with an amidine of the general formula  $RC(:NH)NH_2$ , where R is an alkyl, alkylthio, or amino group, in the presence of base to give compds. of the general formula I, which can be used as antipyretic, diuretic, and bacteriostatic agents and as sedatives. Thus, 22.2 g. Me 1,5-dimethyl-4-piperidone-3-carboxylate-HCl in 50 ml H<sub>2</sub>O was mixed with 19.1 g. PhCH<sub>2</sub>C(:NH)NH<sub>2</sub>.HCl in 50 ml. H<sub>2</sub>O and 20.8 g. K<sub>2</sub>CO<sub>3</sub> in 50 ml. H<sub>2</sub>O, and the mixt. heated a short time at 60.degree. and kept several hrs. to give 20 g. 2-benzyl-4-hydroxy-6,8-dimethyl-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine, m. 193-5.degree. (MeOH). Similarly prepd. were the following I (R, R<sub>1</sub>, R<sub>2</sub>, and m.p. given): H, Me, EtS, 156-7.degree. (EtOAc); 8-Me, Me, MeS, 212.degree. (EtOH); H, Et, MeS, 187.degree.; H, Pr, MeS, 198-9.degree.; H, Pr, EtS, 132.degree.; H, iso-Pr, EtS, 153.degree.; H, Bu, EtS, 184.degree.; H, iso-Bu, EtS, 207-8.degree.; H, Me, PhCH<sub>2</sub>, 218-19.degree.; 4-Me (sic), Me, Me, 197-9.degree.; H, Et, PhCH<sub>2</sub>, 177-8.degree.; H, Pr, Me, 165-7.degree.; H, iso-Pr, PhCH<sub>2</sub>, 163-5.degree.; H, Bu, Me, 146-7.degree.; H, Bu, PhCH<sub>2</sub>, 113-14.degree. (malonate m. 151-3.degree.); H, Pr, Bu, 109-10.degree.; H, Me, NMe<sub>2</sub>, 135-7.degree.; 4-Me (sic), Me, NMe<sub>2</sub>, 185-6.degree.; H, Et, NMe<sub>2</sub>, 56-7.degree.; H, hexyl,

10/634,181

DOCUMENT NUMBER: 62:36868  
ORIGINAL REFERENCE NO.: 62:6493b-g  
TITLE: 5,6,7,8-Tetrahydropyrido[4,3-d]pyrimidines  
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H.  
SOURCE: 18 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M2798		19641019	FR	
BE 642910			BE	
GB 1028405			GB	

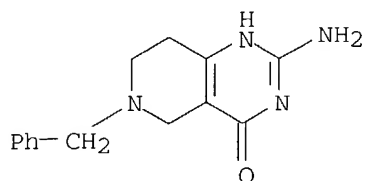
PRIORITY APPLN. INFO.: DE 19620322

AB The title compds. (I) were prep'd. by alk. condensation of an amidine with a substituted 3-carbethoxy-4-piperidone. Thus, a soln. of 29.7 g. Et N-benzyl-4-piperidone-3-carboxylate-HCl, 9.5 g. acetamidine-HCl, and 27.6 g. K<sub>2</sub>CO<sub>3</sub> in 50 ml. H<sub>2</sub>O was stirred 5 hrs. at 50.degree. and 15 hrs. at 25.degree. to give 9.6 g. I (R = H, R<sub>1</sub> = PhCH<sub>2</sub>, R<sub>2</sub> = Me) (Ia), m. 195-7.degree. (EtOH). The tabulated I were prep'd. in a similar manner. Similarly were prep'd. the 4-Me analogs of Ia, m. 177-8.degree., of II, m. 194-5.degree., and of III, m. 128-9.degree.. I had antiinflammatory, antipyretic, diuretic, bacteriostatic, sedative, and coronary dilatory activity. R, R<sub>1</sub>, R<sub>2</sub>, m.p., R, R<sub>1</sub>, R<sub>2</sub>, m.p.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, PhCH<sub>2</sub>, 135.degree.; H, PhCH<sub>2</sub>, Ph (II), 245.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Ph, 172-4.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Ph, 117.degree.; H, PhCH<sub>2</sub>, NH<sub>2</sub>, 269-70.degree.; H, PhCH<sub>2</sub>, Q, 240.degree.; H, Ph, Q, 260-1.degree.; H, PhCH<sub>2</sub>, MeS, 211-12.degree.; 8-Me, PhCH<sub>2</sub>, EtS, 156-7.degree.; H, Ph(CH<sub>2</sub>)<sub>2</sub>, EtS, 203-4.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, PhCH<sub>2</sub>S, 168-9.degree.; H, PhCH<sub>2</sub>, PhNH, 249-51.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Z, 106-7.degree.; H, Ph, Ph, 302-4.degree.; H, MeO(CH<sub>2</sub>)<sub>3</sub>, Ph, 143.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, OH, 244-5.degree.; H, Ph, MeS, 234-5.degree.; H, cyclohexyl, MeS, 253.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, MeS, 180.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, MeS, 139.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, MeS, 178-9.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, MeS, 126-7.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, PhCH<sub>2</sub>S, 135-6.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, PhCH<sub>2</sub>S, 151.degree.; H, PhCH<sub>2</sub>, PhCH<sub>2</sub>, 227-8.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Me, 107-8.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, PhCH<sub>2</sub>, 171-2.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Ph, 150-1.degree.; , , , , , (dioxalate m. 223-5.degree.); H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Ph, 141-2.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, PhCH<sub>2</sub>, 136-8.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, PhCH<sub>2</sub>, 106-8.degree.; H, Ph, Z, 261-2.degree.; H, Ph, Q, 260-1.degree.; H, Ph, 4-methylpiperazino, 268-9.degree.; H, PhCH<sub>2</sub>, PrNOMe, 162-3.degree.; H, PhCH<sub>2</sub>, Bu<sub>2</sub>N (III), 104.degree.; H, Ph(CH<sub>2</sub>)<sub>2</sub>, Bu, 161-2.degree.; H, PhCH<sub>2</sub>, Y, 233-5.degree.; H, PhCH<sub>2</sub>, Z, 220-2.degree.; H, PhCH<sub>2</sub>, 4-methylpiperazino, 218.degree.; [tartrate m. 140.degree. (decompn.)]; H, PhCH<sub>2</sub>, 4-(.beta.-hydroxyethyl)-piperrazino, 227-8.degree.; H, PhCH<sub>2</sub>, cyclohexylamino, 95-6.degree.; H, PhCH<sub>2</sub>, PhCH<sub>2</sub>NMe, 181-2.degree.; H, Ph(CH<sub>2</sub>)<sub>2</sub>, Q, 226.degree.; H, Ph(CH<sub>2</sub>)<sub>2</sub>, 4-methylpiperazino, 177-8.degree.; H, cyclohexy, Q, 231-3.degree.; H, cyclohexyl, 4-methylpiperazino, 213-15.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Y, 143.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Z, 142.degree.; H, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Q, 168.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Y, 134-5.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Z, 106-7.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, Q, 144-5.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, 4-methylpiperazino, 142-3.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Z, 89-90.degree.; H, Et<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, Q, 103-4.degree.; H, PhCH<sub>2</sub>, hexylamino, 152-3.degree.; H, PhCH<sub>2</sub>, Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, 173-5.degree.; 7-Me, PhCH<sub>2</sub>, Me<sub>2</sub>N, 233-5.degree.; H, PhCH<sub>2</sub>, Me<sub>2</sub>N, 218.degree.; H, Ph(CH<sub>2</sub>)<sub>2</sub>, Me<sub>2</sub>N, 200-1.degree.; 8-Me, PhCH<sub>2</sub>, Me<sub>2</sub>N, 157-9.degree.; H, PhCH<sub>2</sub>, Pr, 147-8.degree.; H, PhCH<sub>2</sub>, Et, 172-4.degree.; H, PhCH<sub>2</sub>, Bu, 147-8.degree.; H, PhCH<sub>2</sub>, iso-Pr, 187-8.degree.; 7-Me, PhCH<sub>2</sub>, Pr, 148-50.degree.; 7-Me, PhCH<sub>2</sub>, Et, 169-70.degree.; 7-Me, PhCH<sub>2</sub>, PhCH<sub>2</sub>, 174-6.degree.; 7-Me, PhCH<sub>2</sub>, Bu,



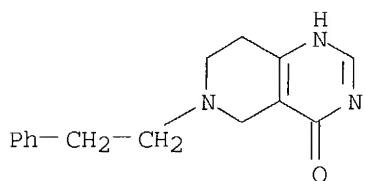
10/634,181

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 2-amino-5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



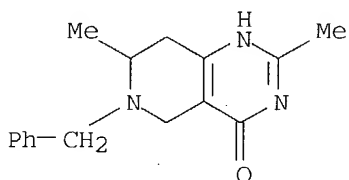
RN 1029-53-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)



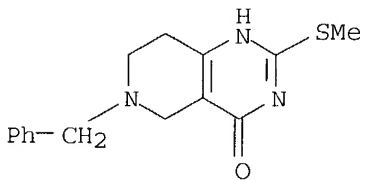
RN 1033-19-8 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 6-benzyl-5,6,7,8-tetrahydro-2,7-dimethyl- (7CI, 8CI) (CA INDEX NAME)



RN 1033-34-7 CAPLUS

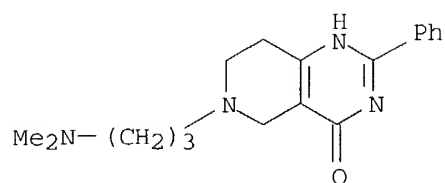
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-(methylthio)-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 1033-38-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-2-methyl-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)

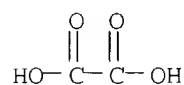
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CRN 144-62-7

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L5 1 S L4  
L6 428 S L4 FULL

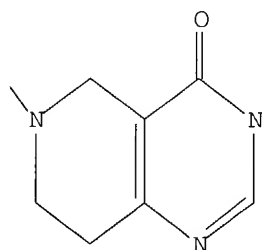
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Day : Friday  
Date: 1/23/2004  
Time: 16:51:52

PALM INTRANET

## Inventor Name Search Result

Your Search was:

Last Name = LI

First Name = JIE

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
<a href="#">60526007</a>	Not Issued	020	12/02/2003	BULK SORTING OF DESICCATION-TOLERANT CONIFER SOMATIC EMBRYOS	LIU, JIE
<a href="#">60515256</a>	Not Issued	018	01/01/0001	DELIVERY OF IMMUNE RESPONSE MODIFIER COMPOUNDS USING METAL-CONTAINING PARTICULATE SUPPORT MATERIALS	LIU, JIE J.
<a href="#">60507913</a>	Not Issued	020	09/30/2003	STRUCTURE OF THE HIV TRIMERIZATION DOMAIN AND ITS USE FOR DEVELOPING INHIBITORS OF HIV INFECTION	LIU, JIE
<a href="#">60349002</a>	Not Issued	159	01/14/2002	METHOD AND SYSTEM FOR BURST TRANSMISSION AND ACKNOWLEDGMENT	LIANG, JIE
<a href="#">60333969</a>	Not Issued	159	11/29/2001	NOVEL MODEL FOR DISCOVERY OF GENE FUNCTION BY PROTEIN SURFACE MATCHING	LIANG, JIE T.
<a href="#">60311014</a>	Not Issued	159	08/09/2001	DNA ENCODING RAT BOMBESIN RECEPTOR SUBTYPE-3 (BRS-3) AND USES THEREOF	LIU, JIE
<a href="#">60286040</a>	Not Issued	159	04/23/2001	FASTER PARALLEL CONTINUOUS WAVELET TRANSFORM ON RECONFIGURABLE MESHES	LI, JIE
<a href="#">60268780</a>	Not Issued	159	02/14/2001	BICYCLIC PYRIMIDINE MATRIX METALLOPROTEINASE INHIBITORS	LI, JIE JACK
<a href="#">60268756</a>	Not	159	02/14/2001	FUSED PYRIMIDINONE MATRIX	LI, JIE JACK

	Issued			METALLOPROTEINASE INHIBITORS	
<u>60262008</u>	Not Issued	159	01/16/2001	PROPOSAL FOR COLLABORATIVE BT AND 802.11B MAC MECHANISMS FOR ENHANCED COEXISTENCE	LIANG, JIE
<u>60244734</u>	Not Issued	159	10/31/2000	ORGANIC BISTABLE DEVICE AND ORGANIC MEMORY CELLS	LIU, JIE
<u>60214300</u>	Not Issued	159	06/26/2000	PEPTIDES OF MAMMALIAN PROTEINS; METHODS; USES	LIU, JIE
<u>60204308</u>	Not Issued	159	05/15/2000	FORMULATIONS FOR ADMINISTERING CALCITONIN AND PROCESSES FOR PREPARING THE SAME	LIU, JIE
<u>60177433</u>	Not Issued	159	01/21/2000	DIGITAL STILL CAMERA SYSTEM AND METHOD	LIANG, JIE
<u>10690446</u>	Not Issued	020	10/21/2003	RECEIVER WITH LOW POWER LISTEN MODE IN A WIRELESS LOCAL AREA NETWORK	LIANG, JIE
<u>10669612</u>	Not Issued	020	09/24/2003	CONFIGURATION OF A DIRECTORY SYSTEM	LIU, JIE
<u>10662083</u>	Not Issued	020	09/15/2003	COMPOUND ELECTRODES FOR ELECTRONIC DEVICES	LIU, JIE
<u>10655301</u>	Not Issued	020	09/05/2003	SYSTEMS AND METHODS FOR DISTRIBUTED GROUP FORMATION AND MAINTENANCE IN GEOGRAPHICALLY BASED NETWORKS	LIU, JIE
<u>10635976</u>	Not Issued	019	08/07/2003	METHOD FOR FORMING AN ARRAY OF SINGLE-WALL CARBON NANOTUBES IN AN ELECTRIC FIELD AND COMPOSITIONS THEREOF	LIU, JIE
<u>10635067</u>	Not Issued	020	08/05/2003	SYSTEM FOR OPERATIONAL COEXISTENCE OF WIRELESS COMMUNICATION TECHNOLOGIES	LIANG, JIE
<u>10634182</u>	Not Issued	030	08/05/2003	NAPHTHALENE DERIVATIVES AS MATRIX METALLOPROTEINASE INHIBITORS	LI, JIE JACK
<u>10634181</u>	Not Issued	030	08/05/2003	FUSED TETRAHYDROPYRIDINE DERIVATIVES AS MATRIX METALLOPROTEINASE	LI, JIE JACK

				INHIBITORS	
<u>10035075</u>	Not Issued	020	12/28/2001	METHOD FOR CUTTING NANOTUBES	LIU, JIE
<u>10034745</u>	Not Issued	041	12/28/2001	METHOD FOR FORMING AN ARRAY OF SINGLE-WALL CARBON NANOTUBES AND COMPOSITIONS THEREOF	LIU, JIE
<u>10033228</u>	Not Issued	030	12/28/2001	METHOD FOR PRODUCING SELF-ASSEMBLED OBJECTS COMPRISING SINGLE-WALL CARBON NANOTUBES AND COMPOSITIONS THEREOF	LIU, JIE
<u>10033092</u>	Not Issued	030	12/28/2001	METHOD FOR FORMING A PATTERNED ARRAY OF SINGLE-WALL CARBON NANOTUBES	LIU, JIE
<u>10032933</u>	Not Issued	041	12/28/2001	METHOD FOR PURIFICATION OF AS-PRODUCED SINGLE-WALL CARBON NANOTUBES	LIU, JIE
<u>10032732</u>	Not Issued	030	12/28/2001	METHOD FOR GROWING CONTINUOUS FIBER	LIU, JIE
<u>10032726</u>	Not Issued	030	12/28/2001	METHOD FOR GROWING SINGLE-WALL CARBON NANOTUBES UTILIZING SEED MOLECULES	LIU, JIE
<u>10026317</u>	Not Issued	030	12/20/2001	NON-COLLABORATIVE MECHANISMS FOR ENHANCED COEXISTENCE OF WIRELESS NETWORKS	LIANG, JIE
<u>10016260</u>	<u>6528540</u>	150	10/30/2001	ESMOLOL FORMULATION	LIU, JIE
<u>10011221</u>	Not Issued	030	10/25/2001	COLLABORATIVE MECHANISM OF ENHANCED COEXISTENCE OF COLLOCATED WIRELESS NETWORKS	LIANG, JIE
<u>09957103</u>	Not Issued	041	09/20/2001	PELLET FEEDING SYSTEM FOR A MOULDING MACHINE	LIU, JIE
<u>09901256</u>	Not Issued	092	07/09/2001	MOLD CLEANING APPARATUS	LIU, JIE
<u>09901143</u>	Not Issued	094	07/10/2001	MOLDING APPARATUS	LIU, JIE
<u>09892230</u>	Not Issued	041	06/25/2001	PEPTIDES OF MAMMALIAN PROTEINS; METHODS; USES	LIU, JIE
<u>09810390</u>	Not Issued	094	03/16/2001	METHODS OF CHEMICALLY DERIVATIZING SINGLE WALL	LIU, JIE

				CARBON NANOTUBES	
<u>09810201</u>	<u>6645455</u>	150	03/16/2001	CHEMICAL DERIVATIZATION OF SINGLE-WALL CARBON NANOTUBES TO FACILITATE SOLVATION THEREOF; AND USE OF DERIVATIZED NANOTUBES TO FORM CATALYST-CONTAINING SEED MATERIALS FOR USE IN MAKING CARBON FIBERS	LIU, JIE
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